EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	2396	((562/465) or (562/471) or (514/683) or (546/339) or (514/277)).CCLS.	US-PGPUB; USPAT	OR	OFF	2006/11/27 11:17
L2	0	1 and phenylalkanoic and acid and phenyloxyalkanoic and acid	US-PGPUB; USPAT	OR	OFF	2006/11/27 11:17

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chain nodes :
                    6 7
                          8
                             13
                                  14
                                      15
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                                               27
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                                                       29
                                                            30
                                                                31
                                                                    37
            4
                 5
    1 2 3
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                    57 .
    50 51 52
ring nodes :
                 23
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                         25
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                                      43
                                               45
                                                   46
    20 21
            22
chain bonds :
                          7-8 13-22
                                                      25-37
                                                             30-31
                                                                     37-38
                                                                             38 - 39
    1-2 2-3 2-4 4-13
                                      14-15
                                               15-16
                          50-53
           50-51 50-52
    39-43
ring bonds :
                  21-22
                          22-23
                                  23-24
                                         24 - 25
                                                 41-42 41-46
                                                                42-43
    20-21
           20-25
    45-46
exact/norm bonds :
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4-13 13-22
                25-37
                       37 - 38
                              38-39
                                     39 - 43
exact bonds :
                           30-31
                                  50-51
                                         50-52
                                                50-53
            14-15
                    15-16
    2-4 7-8
normalized bonds :
    1-2 2-3 20-21 20-25
                           21-22
                                  22-23
                                         23-24
                                                24-25
                                                       41-42
                                                             41-46
    43-44 44-45 45-46
isolated ring systems :
    containing 20 : 41 :
G1:[*1],[*2],[*3],[*4]
G2:[*5],[*6],[*7],[*8]
G3:X,[*9],[*10]
Match level:
    1:CLASS
                    3:CLASS
                             4:CLASS 5:CLASS 6:CLASS
                                                        7:CLASS
                                                                  8:CLASS
           2:CLASS
    13:CLASS 14:CLASS 15:CLASS
                                16:CLASS
                                          20:Atom 21:Atom 22:Atom
                                                                      23:Atom
    24:Atom 25:Atom 27:CLASS 28:CLASS
                                        29:CLASS
                                                   30:CLASS
                                                             31:CLASS
                                        42:Atom
                                                 43:Atom 44:Atom 45:Atom
                       39:Atom
                                41:Atom
    37:CLASS
             38:CLASS
    46:Atom
            49:CLASS
                     50:CLASS
                                51:CLASS
                                         52:CLASS 53:CLASS
                                                             57:CLASS
    58:Atom
```

Generic attributes :

39:

Saturation : Unsaturated Number of Carbon Atoms : less than 7 Type of Ring System : Monocyclic

Element Count :
Node 39: Limited
C,C5-6

N, NO-1

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                              сн,
chain nodes :
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                                                        29
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    1 2 3 4
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ring nodes : :
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    1-2 2-3 2-4 4-13
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                                                                      37 - 38
                                                                             38 - 39
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    39-43 50-51 50-52
ring bonds :
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                          22-23
                                  23-24
    20-21
           20-25
    45-46
exact/norm bonds :
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39-43
  4-13 13-22 24-37
                       37-38
                              38-39
exact bonds :
                                  50-51
                                        50-52
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   2-4 7-8 14-15
                    15-16
                           30-31
normalized bonds :
                                                24-25
                                                             41-46 42-43
   1-2 2-3 20-21
                    20-25
                           21-22
                                  22-23
                                         23-24
                                                      41-42
   43-44 44-45 45-46
isolated ring systems :
   containing 20 : 41 :
G1: [*1], [*2], [*3], [*4]
G2:[*5],[*6],[*7],[*8]
G3:X,[*9],[*10]
Match level :
                     3:CLASS
                             4:CLASS 5:CLASS
                                               6:CLASS
                                                        7:CLASS
                                                                 8:CLASS
   1:CLASS
           2:CLASS
            14:CLASS
                       15:CLASS 16:CLASS 20:Atom 21:Atom 22:Atom
                                                                     23:Atom
   13:CLASS
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                                                            31:CLASS
   24:Atom 25:Atom 27:CLASS 28:CLASS
                                         42:Atom 43:Atom 44:Atom 45:Atom
   37:CLASS 38:CLASS 39:Atom 41:Atom
   46:Atom 49:CLASS 50:CLASS 51:CLASS 52:CLASS 53:CLASS 57:CLASS
   58:Atom
```

Generic attributes :

39:

Saturation : Unsaturated Number of Carbon Atoms : less than 7 Type of Ring System : Monocyclic

Element Count :

Node 39: Limited C,C5-6

N, NO-1

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C:/\Documents and Settings\brobinson1\My Documents\stnweb\Queries\aqkm.str
chain nodes :
                                                                        38
                                              27
                                                   28
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                                                           30
                                                               31
                                                                    37
                    6 7
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                                      15
                                          16
    1 2 3 4
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                          8
                             13
    50 51 52
                 53
                    57
ring nodes :
                                  42 43
                                          44
                                              45
                                                   46
            22
                 23
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                         25
                             41
    20 21
chain bonds :
                                                             30-31
                                                                     37 - 38
                                                                            38-39
                          7-8
                                              15-16
                                                      23-37
    1-2 2-3
              2 - 4
                   4-13
                               13-22 14-15
                          50-53
    39-43 50-51
                 50-52
ring bonds :
                          22-23
                                  23-24
                                         24-25
                                                41 - 42
                                                        41-46 42-43
           20-25
                   21-22
    20-21
```

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45-46
exact/norm bonds :
   4-13 13-22 23-37
                       37-38
                              38-39
                                     39 - 43
exact bonds :
                                         50-52
                                               50-53
                   15-16 30-31
                                  50-51
   2-4 7-8
            14-15
normalized bonds :
                           21-22
                                  22-23
                                        23-24
                                               24-25
                                                      41-42
                                                             41-46
   1-2 2-3 20-21 20-25
    43-44 44-45 45-46
isolated ring systems :
   containing 20 : 41 :
G1:[*1],[*2],[*3],[*4]
G2:[*5],[*6],[*7],[*8]
G3:X,[*9],[*10]
Match level :
                    3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS
                                                                 8:CLASS
           2:CLASS
   1:CLASS
    13:CLASS
            14:CLASS 15:CLASS 16:CLASS 20:Atom 21:Atom 22:Atom 23:Atom
                                        29:CLASS 30:CLASS
                                                            31:CLASS
            25:Atom 27:CLASS 28:CLASS
    24:Atom
                                        42:Atom 43:Atom 44:Atom 45:Atom
                               41:Atom
    37:CLASS
             38:CLASS
                       39:Atom
            49:CLASS
                     50:CLASS
                               51:CLASS
                                         52:CLASS 53:CLASS 57:CLASS
    46:Atom
    58:Atom
```

Generic attributes :

39:

Saturation : Unsaturated
Number of Carbon Atoms : less than 7.
Type of Ring System : Monocyclic

Element Count:
Node 39: Limited
C,C5-6

N, NO-1 ·

Connecting via Winsock to STN

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Welcome to STN International! Enter x:x
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LOGINID:ssspta1612bxr

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NEWS
                 "Ask CAS" for self-help around the clock
NEWS
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                 INSPEC enhanced with 1898-1968 archive
        AUG 09
NEWS
      3
        AUG 28
                 ADISCTI Reloaded and Enhanced
NEWS
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         AUG 30
NEWS
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NEWS
     6
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NEWS
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      8
         SEP 25
NEWS
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     9
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NEWS
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NEWS 10
         SEP 25
                 CEABA-VTB classification code fields reloaded with new
         SEP 28
NEWS 11
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NEWS 12
NEWS 13
         OCT 19
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NEWS 14
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NEWS 15
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                 The Derwent World Patents Index suite of databases on STN
NEWS 16
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                 CHEMLIST enhanced with new search and display field
NEWS 17
         OCT 30
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NEWS 18
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                 to 50,000
NEWS 24
         NOV 20
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NEWS EXPRESS
              NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT
              MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.
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L1 STRUCTURE UPLOADED

=> d l1 L1 HAS NO ANSWERS L1 STF

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s 11
SAMPLE SEARCH INITIATED 01:24:48 FILE 'REGISTRY'

Updated Search

SAMPLE SCREEN SEARCH COMPLETED - 44386 TO ITERATE

2000 ITERATIONS 4.5% PROCESSED INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

COMPLETE ONLINE FULL FILE PROJECTIONS:

COMPLETE BATCH 900297

875143 TO PROJECTED ITERATIONS: PROJECTED ANSWERS:

O TO

0 SEA SSS SAM L1

=> s 11 full THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 166.50 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y) /N or END:y FULL SEARCH INITIATED 01:24:53 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED -888904 TO ITERATE

878586 ITERATIONS 98.8% PROCESSED

320 ANSWERS

0 ANSWERS

888904 ITERATIONS 100.0% PROCESSED

403 ANSWERS

SEARCH TIME: 00.00.25

403 SEA SSS FUL L1 L3

=> file hcaplus COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 173.31 173.10

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FILE COVERS 1907 - 27 Nov 2006 VOL 145 ISS 23 FILE LAST UPDATED: 26 Nov 2006 (20061126/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L420 L3

=> s 14 and stevenage, r?/au

Updated Search

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L5
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L6
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ACCESSION NUMBER:
                          140:59519
DOCUMENT NUMBER:
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TITLE:
                           [(phenylpyridyl)alkoxy]-substituted phenylalkanoic
                          acids and phenoxyalkanoic acids as hPPAR activators
                           for treatment of cardiovascular disease and related
                          disorders
                          Hamlett, Christopher Charles Frederick; Bell, Richard; Beswick, Paul John; Gosmini, Romain Luc Marie;
INVENTOR(S):
                          King, Nigel Paul; Patel, Vipulkumar Kantibhai
                           Smithkline Beecham Corporation, USA
PATENT ASSIGNEE(S):
                          PCT Int. Appl., 158 pp.
SOURCE:
                          CODEN: PIXXD2
DOCUMENT TYPE:
                           Patent
                          English
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
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                                  DATE
                                               APPLICATION NO.
     PATENT NO.
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                                               ______
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PRIORITY APPLN. INFO.:
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MARPAT 140:59519

W 20030618

WO 2003-EP6415

OTHER SOURCE(S):

GΙ

$$\begin{array}{c|c}
0 & R^3 & R^5 & R^6 \\
R^1 & R^2 & R^4 & R^7 & R^7
\end{array}$$

Title compds. I [wherein R1 and R2 = independently H or alkyl; X = O or (CH2)n; n = 0-2; R3 R4 = independently H, alkyl, OMe, CF3, allyl, or halo; X1 = O, S, SO2, SO, or CH2; R5 and R6 = independently H, (halo)alkyl, or alkoxyalkyl; or CR5R6 = cycloalkyl; R7 = (un)substituted Ph or 6-membered heteroaryl; and pharmaceutically acceptable salts, solvates, and hydrolyzable esters thereof] were prepared as human peroxisome proliferator activated receptor (hPPAR) activators. For example, a mixture of 3-(bromomethyl)-4'-(trifluoromethyl)biphenyl, Et (4-mercapto-2-methylphenoxy)acetate, and polymer-supported disopropylethylamine in DCM was stirred at room temperature overnight to give the thioether.

ΙI

Saponification of the

IT

ester with aqueous NaOH in THF and acidification afforded II. Compds. of the invention showed at least 50% activation of hPPAR8 relative to the pos. control at concns. of 10-7 M or less. Thus, I and their pharmaceutical compns. are useful for the treatment of hPPAR mediated conditions, such as dyslipidemia, syndrome X, heart failure, hypercholesterolemia, cardiovascular disease, type II diabetes mellitus, type I diabetes, insulin resistance, hyperlipidemia, obesity, anorexia bulimia, or anorexia nervosa (no data).

638215-22-2P, [[2-Methyl-4-[[[4'-(trifluoromethyl)biphenyl-3yl]methyl]thio]phenyl]oxy]acetic acid 638215-23-3P, [[2-Methyl-4-[[[4-methyl-4'-(trifluoromethyl)biphenyl-3yl]methyl]thio]phenyl]oxy]acetic acid 638215-24-4P, 3-[2-Methyl-4-[[[4'-(trifluoromethyl)biphenyl-3yl]methyl]oxy]phenyl]propanoic acid 638215-25-5P, [[2-Methyl-4-[2-[4'-(trifluoromethyl)biphenyl-3-yl]ethyl]phenyl]oxy]acetic acid 638215-26-6P, [[2-Methyl-4-[[[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]methyl]thio]phenyl]oxy]acetic acid 638215-27-7P, [2-Methyl-4-[1-[4'-(trifluoromethyl)biphenyl-3yl]ethyl]thio]phenyl]oxy]acetic acid 638215-28-8P, [[2-Methyl-4-[[1-[4'-(trifluoromethyl)biphenyl-4yl]ethyl]thio]phenyl]oxy]acetic acid 638215-29-9P, 2-Methyl-2-[[2-methyl-4-[[1-[6-[4-(trifluoromethyl)phenyl]-2pyridinyl]pentyl]oxy]phenyl]oxy]propanoic acid 638215-30-2P, [[2-Methyl-4-[[1-[4'-(trifluoromethyl)biphenyl-3yl]pentyl]oxy]phenyl]oxy]acetic acid 638215-31-3P, [[4-[[1-(4'-Chlorobiphenyl-3-yl)pentyl]oxy]-2-methylphenyl]oxy]acetic acid

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638215-32-4P, [[2-Methyl-4-[[1-[4'-(trifluoromethyl)biphenyl-4-
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\hbox{\tt [[4-[[1-(4'-Chlorobiphenyl-4-yl)pentyl]oxy]-2-methylphenyl]oxy] acetic acid}
638215-34-6P, [[2-Methyl-4-[[(1R)-1-[4'-(trifluoromethyl)biphenyl-
4-yl]pentyl]thio]phenyl]oxy]acetic acid 638215-35-7P,
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Chlorophenyl) -2-pyridinyl]-2-(ethyloxy)ethyl]oxy]-2-
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acid 638216-25-8P, 3-[4-[[(1S)-1-[6-(4-Chlorophenyl)-2-
pyridinyl]pentyl]oxy]-2-methylphenyl]propanoic acid 638216-26-9P
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 (trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]phenyl]propanoic acid
 638216-33-8P, 3-[3-Propyl-4-[[1-[6-[4-(trifluoromethyl)phenyl]-2-
pyridinyl]pentyl]oxy]phenyl]propanoic acid 638216-34-9P,
3-[3-(Ethyloxy)-4-[[1-[6-[4-(trifluoromethyl)phenyl]-2-
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pyridinyl]pentyl]oxy]phenyl]propanoic acid 638216-47-4P,
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pyridinyl]pentyl]oxy]phenyl]propanoic acid 638216-50-9P,
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pyridinyl]pentyl]oxy]phenyl]propanoic acid 638216-55-4P,
 3-[2-Chloro-4-[[(1R)-1-[6-[4-(trifluoromethyl)phenyl]-2-
pyridinyl]pentyl]oxy]phenyl]propanoic acid 638216-56-5P,
 3-[3-Chloro-4-[((1S)-1-[6-[4-(trifluoromethyl)phenyl]-2-
 pyridinyl]pentyl]oxy]phenyl]propanoic acid 638216-57-6P,
 3-[2-Chloro-4-[[(1S)-1-[6-[4-(trifluoromethyl)phenyl]-2-
pyridinyl]pentyl]oxy]phenyl]propanoic acid 638216-58-7P,
 [2-Methyl-4-[1-[2-methyl-4'-(trifluoromethyl)biphenyl-3-
 yl]pentyl]oxy]phenyl]oxy]acetic acid 638216-59-8P,
 [4-[1-(4'-Chloro-2-methylbiphenyl-3-yl)pentyl]oxy]-2-
methylphenyl]oxy]acetic acid 638216-60-1P, [[4-[[1-(2,4'-
 Dimethylbiphenyl-3-yl)pentyl]oxy]-2-methylphenyl]oxy]acetic acid
 638216-63-4P, [[4-[[1-(4'-Fluoro-2-methylbiphenyl-3-yl)pentyl]oxy]-
 2-methylphenyl]oxy]acetic acid 638216-64-5P,
 [2-Methyl-4-[2-(propyloxy)-1-[6-[4-(trifluoromethyl)phenyl]-2-
 pyridinyl]ethyl]oxy]phenyl]oxy]acetic acid 638216-65-6P,
 [4-[2-(Ethyloxy)-1-[6-[4-(trifluoromethyl)phenyl]-2-
 pyridinyl]ethyl]thio]-2-methylphenyl]oxy]acetic acid
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
  (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (hPPAR activator; preparation of (aryloxy)phenylalkanoic acids and
        (aryloxy)phenoxyalkanoic acids as hPPAR activators for treatment of
        cardiovascular disease and related disorders)
 638215-22-2 HCAPLUS
 Acetic acid, [2-methyl-4-[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-
 yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)
```

RN

CN

F3C O-CH2-CO2H

RN 638215-23-3 HCAPLUS

CN Acetic acid, [2-methyl-4-[[[4-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

F3C O-CH2-CO2H

Me

Me

Me

Me

O-CH2-CO2H

RN 638215-24-4 HCAPLUS

CN Benzenepropanoic acid, 2-methyl-4-[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methoxy]- (9CI) (CA INDEX NAME)

F3C CH2-CH2-CO2H

RN 638215-25-5 HCAPLUS

CN Acetic acid, [2-methyl-4-[2-[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]ethyl]phenoxy]- (9CI) (CA INDEX NAME)

F3C O-CH2-CO2H

RN 638215-26-6 HCAPLUS

CN Acetic acid, [2-methyl-4-[[[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 638215-27-7 HCAPLUS
CN Acetic acid, [2-methyl-4-[[1-[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]ethyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 638215-28-8 HCAPLUS
CN Acetic acid, [2-methyl-4-[[1-[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]ethyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 638215-29-9 HCAPLUS
CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 638215-30-2 HCAPLUS CN Acetic acid, [2-methyl-4-[[1-[4'-(trifluoromethyl)[1,1'-biphenyl]-3-

yl]pentyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 638215-31-3 HCAPLUS

CN Acetic acid, [4-[[1-(4'-chloro[1,1'-biphenyl]-3-yl)pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

$$C1$$
 $n-Bu$
 $CH-0$
 $O-CH_2-CO_2H$

RN 638215-32-4 HCAPLUS

CN Acetic acid, [2-methyl-4-[[1-[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]pentyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} & \text{O-CH}_2\text{-CO}_2\text{H} \\ \hline & \text{CH-O} & \\ \end{array}$$

RN 638215-33-5 HCAPLUS

CN Acetic acid, [4-[[1-(4'-chloro[1,1'-biphenyl]-4-yl)pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{O-CH}_2\text{-CO}_2\text{H} \\ \text{CH-O} \end{array}$$

RN 638215-34-6 HCAPLUS

CN Acetic acid, [2-methyl-4-[[(1R)-1-[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]pentyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

$$R$$
 S Me O CO_2H

638215-35-7 HCAPLUS RN

Acetic acid, [2-methyl-4-[[(1S)-1-[4'-(trifluoromethyl)[1,1'-biphenyl]-4-[[(1S)-1-[4'-(trifluoromethyl)[1,1'-biphenyl]]-4-[[(1S)-1-[4'-(trifluoromethyl)[1,1'-biphenyl]]-4-[[(1S)-1-[4'-(trifluoromethyl)[1,1'-biphenyl]]]CN yl]pentyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

638215-36-8 HCAPLUS Acetic acid, [2-methyl-4-[[(1S)-1-[6-[4-(trifluoromethyl)phenyl]-2-CN pyridinyl]pentyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)

638215-37-9 HCAPLUS RN Acetic acid, [2-methyl-4-[[(1R)-1-[6-[4-(trifluoromethyl)phenyl]-2-[6-[4-(trifluoromethyl]phenyl]-2-[6-[4-(trifluoromethyl]phenyl]-2-[6-[4-(trifluoromethyl]phenyl]-2-[6-[4-(trifluoromethyl]phenyl]-2-[6-[4-(trifluoromethyl]phenyl]-2-[6-[4-(trifluoromethyl]phenyl]CN pyridinyl]pentyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

638215-38-0 HCAPLUS Acetic acid, [2-methyl-4-[[(1S)-1-[6-[4-(trifluoromethyl)phenyl]-2-[6-[4-(trifluoromethyl]phenyl]-2-[6-[4-(trifluoromethyl]phenyl]-2-[6-[4-(trifluoromethyl]phenyl]-2-[6-[4-(trifluoromethyl]phenyl]-2-[6-[4-(trifluoromethyl]phenyl]-2-[6-[4-(trifluoromethyl]phenyl]-2-[6-[4-(trifluoromethyl]phenyl]-2-[6-[4-(trifluoromethyl]phenyl]-2-[6-[4-(tripyridinyl]pentyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 638215-39-1 HCAPLUS
CN Acetic acid, [2-methyl-4-[[(1R)-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 638215-40-4 HCAPLUS
CN Acetic acid, [2-methyl-4-[[1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]sulfinyl]phenoxy]- (9CI) (CA INDEX NAME)

RN 638215-41-5 HCAPLUS
CN Acetic acid, [2-methyl-4-[[1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]sulfonyl]phenoxy]- (9CI) (CA INDEX NAME)

RN 638215-43-7 HCAPLUS
CN Acetic acid, [2-methyl-4-[1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]butoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 638215-45-9 HCAPLUS
CN Acetic acid, [4-[[1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)

638215-46-0 HCAPLUS RN

Benzenepropanoic acid, 4-[[1-[6-[4-(trifluoromethyl)phenyl]-2-CN pyridinyl]pentyl]oxy]- (9CI) (CA INDEX NAME)

638215-47-1 HCAPLUS RN

Acetic acid, [4-[[1-[6-(4-chlorophenyl)-2-pyridinyl]pentyl]oxy]-2-CN methylphenoxy] - (9CI) (CA INDEX NAME)

638215-50-6 HCAPLUS RN

Acetic acid, [2-methyl-4-[[1-[6-(4-methylphenyl)-2-CN pyridinyl]pentyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 638215-51-7 HCAPLUS
CN Acetic acid, [4-[[1-[6-(3,4-dichlorophenyl)-2-pyridinyl]pentyl]oxy]-2methylphenoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Cl} & \text{Me} & \text{O-CH}_2\text{-}\text{CO}_2\text{H} \\ \hline & \text{N} & \text{Bu-n} & \text{O-CH}_2\text{-}\text{CO}_2\text{H} \\ \hline \end{array}$$

RN 638215-52-8 HCAPLUS
CN Acetic acid, [2-methyl-4-[[1-[6-[3-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 638215-55-1 HCAPLUS
CN Acetic acid, [4-[[1-[6-(4-fluorophenyl)-2-pyridinyl]pentyl]oxy]-2methylphenoxy]- (9CI) (CA INDEX NAME)

RN 638215-57-3 HCAPLUS

CN Acetic acid, [2-methyl-4-[[1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]hexyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 638215-58-4 HCAPLUS

CN Acetic acid, [2-methyl-4-[[4-methyl-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 638215-59-5 HCAPLUS

CN Acetic acid, [2-methyl-4-[3-methyl-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]butoxy]phenoxy]- (9CI) (CA INDEX NAME)

638215-64-2 HCAPLUS RN

Acetic acid, [4-[[1-[6-(4-chlorophenyl)-2-pyridinyl]pentyl]oxy]-2-CN ethylphenoxy] - (9CI) (CA INDEX NAME)

638215-67-5 HCAPLUS RN

Acetic acid, [2-ethyl-4-[[1-[6-[4-(trifluoromethyl)phenyl]-2-CN pyridinyl]pentyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 638215-68-6 · HCAPLUS

Benzenebutanoic acid, 4-[[1-[6-[4-(trifluoromethyl)phenyl]-2-CN pyridinyl]pentyl]oxy]- (9CI) (CA INDEX NAME)

RN 638215-69-7 HCAPLUS

Acetic acid, [4-[(1R)-1-[6-(4-chlorophenyl)-2-pyridinyl]pentyl]oxy]-2methylphenoxy] - (9CI) (CA INDEX NAME)

RN 638215-74-4 HCAPLUS CN Acetic acid, [4-[[(1S)-1-[6-(4-chlorophenyl)-2-pyridinyl]pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 638215-79-9 HCAPLUS
CN Acetic acid, [4-[(1R)-3-methoxy-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]propoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 638215-80-2 HCAPLUS CN Acetic acid, [4-[(1R)-1-[6-(4-chlorophenyl)-2-pyridinyl]-3-methoxypropoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 638215-81-3 HCAPLUS
CN Acetic acid, [4-[(1S)-3-methoxy-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]propoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 638215-82-4 HCAPLUS CN Acetic acid, [4-[(1S)-1-[6-(4-chlorophenyl)-2-pyridinyl]-3-methoxypropoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 638215-83-5 HCAPLUS
CN Acetic acid, [4-[(1R)-2-ethoxy-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 638215-87-9 HCAPLUS
CN Acetic acid, [4-[(1R)-1-[6-(4-chlorophenyl)-2-pyridinyl]-2-ethoxyethoxy]-2methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 638215-88-0 HCAPLUS CN Acetic acid, [4-[(1S)-2-ethoxy-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 638215-92-6 HCAPLUS CN Acetic acid, [4-[(1S)-1-[6-(4-chlorophenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 638215-93-7 HCAPLUS
CN Acetic acid, [4-[(1R)-2-ethoxy-1-[6-(3-fluoro-4-methylphenyl)-2-pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 638215-94-8 HCAPLUS CN Acetic acid, [4-[(1R)-2-ethoxy-1-[6-(4-methylphenyl)-2-pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 638215-96-0 HCAPLUS
CN Acetic acid, [4-[(1R)-1-[6-(4-cyano-3-fluorophenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 638215-98-2 HCAPLUS
CN Acetic acid, [4-[(1R)-2-ethoxy-1-[6-(2-fluoro-4-methylphenyl)-2-pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 638215-99-3 HCAPLUS
CN Acetic acid, [4-[(1R)-2-ethoxy-1-[6-(4-fluorophenyl)-2-pyridinyl]ethoxy]-2methylphenoxy]- (9CI) (CA INDEX NAME)

RN 638216-01-0 HCAPLUS
CN Acetic acid, [4-[(1R)-1-[6-(4-chloro-3-methylphenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 638216-02-1 HCAPLUS
CN Acetic acid, [4-[(1R)-1-[6-(3-chloro-4-cyanophenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN

638216-03-2 HCAPLUS Acetic acid, [4-[(1R)-1-[6-(4-cyano-3-methylphenyl)-2-pyridinyl]-2-CN ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

638216-04-3 HCAPLUS RN

CN Acetic acid, [4-[(1R)-2-ethoxy-1-[6-(3-fluoro-4-methoxyphenyl)-2pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 638216-05-4 HCAPLUS
CN Acetic acid, [4-[(1R)-1-[6-(4-cyano-2-fluorophenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 638216-06-5 HCAPLUS
CN Acetic acid, [4-[(1R)-1-[6-(4-cyano-2-methylphenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 638216-07-6 HCAPLUS
CN Acetic acid, [4-[(1S)-2-ethoxy-1-[6-(3-fluoro-4-methylphenyl)-2-pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

methylphenoxy] - (9CI) (CA INDEX NAME)

RN 638216-08-7 HCAPLUS CN Acetic acid, [4-[(1S)-2-ethoxy-1-[6-(4-methylphenyl)-2-pyridinyl]ethoxy]-2-

RN 638216-10-1 HCAPLUS
CN Acetic acid, [4-[(1S)-1-[6-(4-cyano-3-fluorophenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 638216-12-3 HCAPLUS
CN Acetic acid, [4-[(1S)-2-ethoxy-1-[6-(2-fluoro-4-methylphenyl)-2-pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 638216-13-4 HCAPLUS CN Acetic acid, [4-[(1S)-2-ethoxy-1-[6-(4-fluorophenyl)-2-pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 638216-15-6 HCAPLUS
CN Acetic acid, [4-[(1S)-1-[6-(4-chloro-3-methylphenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN

638216-16-7 HCAPLUS Acetic acid, [4-[(1S)-1-[6-(3-chloro-4-cyanophenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

638216-17-8 HCAPLUS RN

Acetic acid, [4-[(1S)-1-[6-(4-cyano-3-methylphenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)CN

RN 638216-18-9 HCAPLUS
CN Acetic acid, [4-[(1S)-2-ethoxy-1-[6-(3-fluoro-4-methoxyphenyl)-2-pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 638216-19-0 HCAPLUS
CN Acetic acid, [4-[(1S)-1-[6-(4-cyano-2-fluorophenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 638216-21-4 HCAPLUS
CN Benzenepropanoic acid, 2-methyl-4-[[(1S)-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 638216-25-8 HCAPLUS
CN Benzenepropanoic acid, 4-[[(1S)-1-[6-(4-chlorophenyl)-2-pyridinyl]pentyl]oxy]-2-methyl- (9CI) (CA INDEX NAME)

RN 638216-26-9 HCAPLUS

CN Benzenepropanoic acid, 2-methyl-4-[[(1R)-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 638216-30-5 HCAPLUS

CN Benzenepropanoic acid, 4-[[(1R)-1-[6-(4-chlorophenyl)-2-pyridinyl]pentyl]oxy]-2-methyl- (9CI) (CA INDEX NAME)

RN 638216-31-6 HCAPLUS
CN Benzenepropanoic acid, 3,5-dimethyl-4-[[1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]- (9CI) (CA INDEX NAME)

RN 638216-32-7 HCAPLUS
CN Benzenepropanoic acid, 3-methoxy-5-propyl-4-[[1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]- (9CI) (CA INDEX NAME)

$$CF3$$
 $n-Pr$
 $CH_2-CH_2-CO_2H$
 $n-Bu$
 OMe

RN 638216-33-8 HCAPLUS

CN Benzenepropanoic acid, 3-propyl-4-[[1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]- (9CI) (CA INDEX NAME)

RN 638216-34-9 HCAPLUS
CN Benzenepropanoic acid, 3-ethoxy-4-[[1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]- (9CI) (CA INDEX NAME)

RN 638216-35-0 HCAPLUS
CN Benzenepropanoic acid, 4-[[(1R)-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

RN 638216-36-1 HCAPLUS

CN Benzenepropanoic acid, 3-methoxy-4-[[(1R)-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 638216-40-7 HCAPLUS

CN Benzenepropanoic acid, 4-[[(1S)-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 638216-41-8 HCAPLUS

CN Benzenepropanoic acid, 3-methoxy-4-[[(1S)-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]- (9CI) (CA INDEX NAME)

RN 638216-45-2 HCAPLUS

CN Benzenepropanoic acid, 3-fluoro-4-[[(1R)-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 638216-46-3 HCAPLUS

CN Benzenepropanoic acid, 3-methyl-4-[[(1R)-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]- (9CI) (CA INDEX NAME)

RN 638216-47-4 HCAPLUS

CN Benzenepropanoic acid, 3,5-dimethoxy-4-[[(1R)-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 638216-48-5 HCAPLUS

CN Benzenepropanoic acid, 2-methoxy-4-[[(1R)-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]- (9CI) (CA INDEX NAME)

RN 638216-49-6 HCAPLUS
CN Benzenepropanoic acid, 3-fluoro-4-[[(1S)-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 638216-50-9 HCAPLUS

CN Benzenepropanoic acid, 3-methyl-4-[[(1S)-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]- (9CI) (CA INDEX NAME)

RN 638216-51-0 HCAPLUS

CN Benzenepropanoic acid, 3,5-dimethoxy-4-[[(1S)-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 638216-52-1 HCAPLUS

CN Benzenepropanoic acid, 2-methoxy-4-[[(1S)-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]- (9CI) (CA INDEX NAME)

RN 638216-53-2 HCAPLUS
CN Benzenepropanoic acid, 3-chloro-5-methoxy-4-[[(1S)-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 638216-54-3 HCAPLUS

CN Benzenepropanoic acid, 3-chloro-4-[[(1R)-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]- (9CI) (CA INDEX NAME)

RN 638216-55-4 HCAPLUS

Benzenepropanoic acid, 2-chloro-4-[[(1R)-1-[6-[4-(trifluoromethyl)phenyl]-CN2-pyridinyl]pentyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN638216-56-5 HCAPLUS

Benzenepropanoic acid, 3-chloro-4-[[(1S)-1-[6-[4-(trifluoromethyl)phenyl]-CN 2-pyridinyl]pentyl]oxy]- (9CI) (CA INDEX NAME)

638216-57-6 HCAPLUS RN

 $\label{eq:Benzenepropanoic acid, 2-chloro-4-[((1S)-1-[6-[4-(trifluoromethyl)phenyl]-1-[6-[4-(trifluoromethyl]phenyl]-1-[6-[4-(trifluoromethyl]phenyl]-1-[6-[4-(trifluoromethyl]phenyl]-1-[6-[4-(trif$ CN 2-pyridinyl]pentyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

638216-58-7 HCAPLUS Acetic acid, [2-methyl-4-[[1-[2-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-4'-(tCN 3-yl]pentyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 638216-59-8 HCAPLUS

Updated Search

CN Acetic acid, [4-[[1-(4'-chloro-2-methyl[1,1'-biphenyl]-3-yl)pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

$$C1$$
 Me
 $n-Bu$
 $CH-O$
 $O-CH_2-CO_2H$

RN 638216-60-1 HCAPLUS CN Acetic acid, [4-[[1-(2,4'-dimethyl[1,1'-biphenyl]-3-yl)pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Me
$$n-Bu$$
 $O-CH_2-CO_2H$ $CH-O$

RN 638216-63-4 HCAPLUS
CN Acetic acid, [4-[[1-(4'-fluoro-2-methyl[1,1'-biphenyl]-3-yl)pentyl]oxy]-2methylphenoxy]- (9CI) (CA INDEX NAME)

RN 638216-64-5 HCAPLUS
CN Acetic acid, [2-methyl-4-[2-propoxy-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 638216-65-6 HCAPLUS
CN Acetic acid, [4-[[2-ethoxy-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]ethyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L4

(FILE 'HOME' ENTERED AT 01:16:31 ON 27 NOV 2006)

FILE 'REGISTRY' ENTERED AT 01:16:38 ON 27 NOV 2006

.L1 STRUCTURE UPLOADED

L2 0 S L1

L3 403 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 01:25:22 ON 27 NOV 2006

20 S L3

L5 0 S L4 AND STEVENAGE, R?/AU
L6 1 S L4 AND BESWICK, P?/AU

=> s 14 not 16

L7 19 L4 NOT L6

=> s 17 and gosmini, r?/au

Updated Search

```
17 GOSMINI, R?/AU
            O L7 AND GOSMINI, R?/AU
L8
=> s 17 and grimes, r?/au
           570 GRIMES, R?/AU
             0 L7 AND GRIMES, R?/AU
L9
=> s 17 and hamlet, c?/au
            26 HAMLET, C?/AU
L10
             O L7 AND HAMLET, C?/AU
=> s 17 and hamlett, c?/au
             2 HAMLETT, C?/AU
             O L7 AND HAMLETT, C?/AU
L11
=> s 17 and king, n?/au
           596 KING, N?/AU
             0 L7 AND KING, N?/AU
L12
=> s 17 and patel, v?/au
          1127 PATEL, V?/AU
             O L7 AND PATEL, V?/AU
L13
=> s 17 and bell, r?/au
          2752 BELL, R?/AU
             0 L7 AND BELL, R?/AU
L14
=> d his
     (FILE 'HOME' ENTERED AT 01:16:31 ON 27 NOV 2006)
     FILE 'REGISTRY' ENTERED AT 01:16:38 ON 27 NOV 2006
L1
                STRUCTURE UPLOADED
L2
              0 S L1
            403 S L1 FULL
L3
     FILE 'HCAPLUS' ENTERED AT 01:25:22 ON 27 NOV 2006
             20 S L3
L4
              0 S L4 AND STEVENAGE, R?/AU
L5
              1 S L4 AND BESWICK, P?/AU
L6
             19 S L4 NOT L6
L7
              0 S L7 AND GOSMINI, R?/AU
rs
              0 S L7 AND GRIMES, R?/AU
L9
              0 S L7 AND HAMLET, C?/AU
L10
              0 S L7 AND HAMLETT, C?/AU
L11
              0 S L7 AND KING, N?/AU
L12
              0 S L7 AND PATEL, V?/AU
L13
              0 S L7 AND BELL, R?/AU
L14
\Rightarrow d 17, ibib abs hitstr, 1-19
     ANSWER 1 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN
                         2006:398359 HCAPLUS
ACCESSION NUMBER:
DOCUMENT NUMBER:
                         145:39840
TITLE:
                         1,3,5-Trisubstituted aryls as highly selective
                         PPARδ agonists
                         Epple, Robert; Azimioara, Mihai; Russo, Ross;
AUTHOR(S):
                         Bursulaya, Badry; Tian, Shin-Shay; Gerken, Andrea;
                         Iskandar, Maya
```

CORPORATE SOURCE:

Department of Medicinal Chemistry, Genomics Institute

of the Novartis Research Foundation, San Diego, CA,

92121, USA

SOURCE:

Bioorganic & Medicinal Chemistry Letters (2006),

16(11), 2969-2973 CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER:

Elsevier B.V. Journal

DOCUMENT TYPE:

English

LANGUAGE:

OTHER SOURCE(S):

CASREACT 145:39840

A series of highly potent and selective PPAR δ agonists is described using the known non-selective ligand GW2433 as a structural template. Compound 1 is bioavailable, potent (10 nM), and shows no cross-activity with other PPAR subtypes up to 10 μM , making it a useful tool in studying the biol. effects of selective PPARS activation.

870289-06-8P 870289-09-1P 870289-15-9P IT 870289-38-6P 870289-39-7P 870289-41-1P 870289-44-4P 870289-56-8P 890137-40-3P 890137-41-4P 890137-43-6P 908831-17-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

 $(1,3,5-Trisubstituted aryls as highly selective PPAR\delta agonists)$

RN 870289-06-8 HCAPLUS

Acetic acid, [4-[[4,4"]-bis(trifluoromethyl)[1,1":3",1"]-terphenyl]-5"-CN yl]methoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

$$CH_2-O$$
 CH_2-CO_2H
 CF_3

870289-09-1 HCAPLUS RN

Acetic acid, [2-methyl-4-[[4-(trifluoromethoxy)-4''-CN (trifluoromethyl)[1,1':3',1''-terphenyl]-5'-yl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)

F₃C
$$O-CH_2-CO_2H$$

RN

870289-15-9 HCAPLUS
Acetic acid, [4-[[4-methoxy-4''-(trifluoromethyl)[1,1':3',1''-terphenyl]-5'-yl]methoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME) CN

$$\begin{array}{c} \text{MeO} \\ \\ \text{CH}_2\text{-}\text{O} \\ \\ \text{CF}_3 \end{array}$$

RN

870289-38-6 HCAPLUS
Acetic acid, [4-[[3,4''-bis(trifluoromethyl)[1,1':3',1''-terphenyl]-5'-CN yl]methoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 870289-39-7 HCAPLUS

Acetic acid, [2-methyl-4-[[4-(trifluoromethyl)[1,1':3',1'':4'',1'''-CN quaterphenyl]-5'-yl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 870289-41-1 HCAPLUS
CN Acetic acid, [2-methyl-4-[[4-methyl-4''-(trifluoromethyl)[1,1':3',1''-terphenyl]-5'-yl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)

Me
$$O-CH_2-CO_2H$$
 CH_2-O

RN 870289-44-4 HCAPLUS
CN Acetic acid, [4-[(4,4''-dichloro[1,1':3',1''-terphenyl]-5'-yl)methoxy]-2methylphenoxy]- (9CI) (CA INDEX NAME)

RN 870289-56-8 HCAPLUS

CN Acetic acid, [4-[[[4,4''-bis(trifluoromethyl)[1,1':3',1''-terphenyl]-5'-yl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 890137-40-3 HCAPLUS

CN Acetic acid, [4-[[4,4''-bis(trifluoromethyl)[1,1':3',1''-terphenyl]-5'-yl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 890137-41-4 HCAPLUS

CN Propanoic acid, 2-[4-[[4,4''-bis(trifluoromethyl)[1,1':3',1''-terphenyl]-5'-yl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)

890137-43-6 HCAPLUS RN

Acetic acid, [4-[[4,4''-bis(trifluoromethyl)[1,1':3',1''-terphenyl]-5'-CN yl]methoxy]-3-methylphenoxy]- (9CI) (CA INDEX NAME)

$$_{\mathrm{CF}_{3}}^{\mathrm{CH}_{2}-\mathrm{O}}$$

RN908831-17-4 HCAPLUS

Acetic acid, [4-[[4,4''-bis(trifluoromethyl)[1,1':2',1''-terphenyl]-4'-CN yl]methoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS 26 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2006 ACS on STN L7 ANSWER 2 OF 19

ACCESSION NUMBER:

2006:101282 HCAPLUS

DOCUMENT NUMBER:

144:184686

TITLE:

Remedy for diabetes

Suzuki, Nobuhiro; Suzuki, Masami; Asakawa, Tomoko; INVENTOR(S):

Kataoka, Osamu Takeda Pharmaceutical Company Limited, Japan

PATENT ASSIGNEE(S): SOURCE:

PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.

KIND

APPLICATION NO.

DATE

Updated Search

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WO 2005-JP13995
                             20060202
    WO 2006011615
           A1
           LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
           NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
           SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
           ZA. ZM. ZW
        RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
           IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
           CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
           GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
           KG, KZ, MD, RU, TJ, TM
                                        JP 2004-218736
                                                          A 20040727
PRIORITY APPLN. INFO.:
                      MARPAT 144:184686
OTHER SOURCE(S):
GI
```

AB A remedy for diabetes with secondary sulfonylurea failure which contains a GPR40 agonist (I; Markush's structure given). Namely, a remedy for diabetes with secondary sulfonylurea failure capable of exerting excellent effects of secreting insulin and lowering the blood glucose level even on diabetic patients on whom sulfonylurea compds. or rapidly acting insulin secretion promoters can exert no insulin secretion effect and thus a sufficient hypoglycemic effect cannot be established.

IT 691902-39-3

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(biphenylylmethoxybenzenepropanoate derivs. as GPR40 agonists and remedies for diabetes with secondary sulfonylurea failure)

RN 691902-39-3 HCAPLUS

CN Benzenepropanoic acid, 4-[(2',6'-dimethyl[1,1'-biphenyl]-4-yl)methoxy]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN

Ι

ACCESSION NUMBER:

2005:1262399 HCAPLUS

DOCUMENT NUMBER:

144:22712

TITLE:

Triaryl compounds as PPAR modulators, their

preparation, pharmaceutical compositions, and use in

therapy

INVENTOR(S):

Epple, Robert; Azimioara, Mihai

PATENT ASSIGNEE(S):

Irm LLC, Bermuda

SOURCE:

GT

PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT	PATENT NO.				D	DATE 20051201		APPLICATION NOWO 2005-US16747								
	₩O 2005	WO 2005113506			A1												
	W:	AE.	AG.	AI.	AM.		AU,										
	***	CN.	CO.	CR.	CU.	CZ.	DE,	DK.	DM.	DZ.	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE.	GH.	GM.	HR.	HU.	ID,	IL.	IN.	ıs.	JP,	KE,	KG,	KM,	KP,	KR,	ΚZ,
		LC.	T.K.	LR.	LS.	LT.	LU,	LV.	MA.	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,
		NG	NT.	NO.	NZ.	OM.	PG,	PH.	PL.	PT.	RO,	RU.	sc.	SD,	SE,	SG,	SK,
	•	ST.	SM	SY.	T.J.	TM.	TN,	TR.	ΤΤ,	TZ.	UA.	UG.	US,	UZ,	VC,	VN,	YU,
			ZM,		10,	.211,	22.,	,	,	,		•		•		•	•
	DW •	BW,			KE	T.S.	MW.	M.Z.	NA.	SD.	SI.	SZ.	TZ.	UG.	ZM,	ZW.	AM,
	1744 •	77	DV.	KC	K7	MD,	RU,	т.т	TM.	AT.	BE.	BG.	CH.	CY.	CZ.	DE.	DK.
							GR,										
							BF,										
		•	•	•			Dr,	ъ,	CF,	CG,	CI,	CH,	GA,	GIV,	υ _ν ,	J.,	1111,
MR, NE, SN,				TU,	16					004	E 7 1 0	0 4 D		D 2	0040	51 /	
PRIORITY APPLN. INFO.:						US 2004-571004P									P 2	0040	914
OTHER SOURCE(S):					MARPAT 144:22712												
	CT																

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- The invention relates to aryl compds. of formula I, which are modulators AB of peroxisome proliferator-activated receptors (PPAR), particularly PPAR δ . In compds. I, m is 0-3; X, Y, and Z are independently selected from CH and N; L is (un)substituted (CH2)nO(CH2)n or (CH2)nS(0)p(CH2)n, where each n is independently selected from 0-4 and p is 0-2; R1 and R2 are independently selected from (un)substituted C3-12 cycloalkyl-A-, (un) substituted C3-8 heterocyclyl-A-, (un) substituted C6-10 aryl-A-, and (un) substituted C5-13 heteroaryl-A-, where A is a bond, C1-6 alkylene, C2-6 alkenylene, or C2-6 alkynylene; R3 is selected from halo, C1-6 alkyl, C1-6 alkoxy, C1-6 hydroxyalkyl, C1-6 haloalkyl, C1-6 haloalkoxy, (un) substituted C6-10 aryl, (un) substituted C5-10 heteroaryl, (un) substituted C3-12 cycloalkyl, and (un) substituted C3-8 heterocyclyl; and R4 is selected from (CH2)nO(CH2)nCO2R5 and (CH2)nCO2R5, where n is as defined previously and R5 is H or C1-6 alkyl; including pharmaceutically acceptable salts, hydrates, solvates, isomers, and prodrugs thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising a therapeutically effective amount of compound I in combination with one or more pharmaceutically acceptable excipients, as well as to the use of the compns. to treat or prevent diseases or disorders associated with PPAR activity. Substitution of Me bromoacetate with 4-hydroxy-3methylacetophenone followed by Baeyer-Villiger oxidation and methanolysis gave phenoxyacetate II, which underwent substitution of 3,5-dibromobenzyl bromide to give dibromobenzyl ether III. Treatment of III with an excess of 4-trifluoromethylphenylboronic acid and ester hydrolysis resulted in the formation of terphenyl IV. Most preferred compds. of the invention express an EC50 value for PPAR8 of less than 100 nM. The compds. of

the invention are at least 100-fold selective for PPAR δ over PPARγ. 870289-06-8P 870289-09-1P 870289-13-7P IT 870289-14-8P 870289-15-9P 870289-25-1P 870289-27-3P 870289-28-4P 870289-35-3P 870289-36-4P 870289-37-5P 870289-38-6P 870289-39-7P 870289-40-0P 870289-41-1P 870289-42-2P 870289-43-3P 870289-44-4P 870289-46-6P 870289-54-6P 870289-55-7P 870289-56-8P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (drug candidate; preparation of triaryl compds. as PPAR modulators and their use for treatment and prevention of diseases associated with PPARS activity) 870289-06-8 HCAPLUS RN Acetic acid, [4-[[4,4''-bis(trifluoromethyl)[1,1':3',1''-terphenyl]-5'-CN yl]methoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

$$F_3C$$
 CH_2-O
 CH_2-CO_2H
 F_3C-O

RN

870289-13-7 HCAPLUS Acetic acid, [4-[4''-methoxy-2-(trifluoromethyl)[1,1':3',1''-terphenyl]-CN 5'-yl]methoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{MeO} \\ \\ \text{CH}_2\text{--}\text{O} \\ \end{array}$$

870289-14-8 HCAPLUS RN

Acetic acid, [4-[[4''-methoxy-3-(trifluoromethyl)[1,1':3',1''-terphenyl]-CN 5'-yl]methoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

870289-15-9 HCAPLUS RN

Acetic acid, [4-[[4-methoxy-4''-(trifluoromethyl)[1,1':3',1''-terphenyl]-CN 5'-yl]methoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

MeO
$$CH_2-CO_2H$$
 CF_3

RN 870289-25-1 HCAPLUS

CN Acetic acid, [4-[[4''-methoxy-3,5-bis(trifluoromethyl)[1,1':3',1''-terphenyl]-5'-yl]methoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 870289-27-3 HCAPLUS

CN Acetic acid, [4-[(3,4-dichloro-4''-methoxy[1,1':3',1''-terphenyl]-5'-yl)methoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

MeO
$$CH_2-CO_2H$$

RN 870289-28-4 HCAPLUS

CN Acetic acid, [4-[(2-chloro-4''-methoxy[1,1':3',1''-terphenyl]-5'-yl)methoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 870289-35-3 HCAPLUS
CN Acetic acid, [2-methyl-4-[[3-(trifluoromethoxy)-4''(trifluoromethyl)[1,1':3',1''-terphenyl]-5'-yl]methoxy]phenoxy]- (9CI)
(CA INDEX NAME)

RN 870289-36-4 HCAPLUS
CN Acetic acid, [2-methyl-4-[[5-(3-quinolinyl)-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 870289-37-5 HCAPLUS
CN Acetic acid, [4-[[2-methoxy-4''-(trifluoromethyl)[1,1':3',1''-terphenyl]5'-yl]methoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 870289-38-6 HCAPLUS
CN Acetic acid, [4-[[3,4''-bis(trifluoromethyl)[1,1':3',1''-terphenyl]-5'-yl]methoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

$$_{\mathrm{F_{3}C}}$$
 $_{\mathrm{CH_{2}-O}}$ $_{\mathrm{CH_{2}-CO_{2}H}}$

RN 870289-39-7 HCAPLUS
CN Acetic acid, [2-methyl-4-[[4-(trifluoromethyl)[1,1':3',1'':4'',1''-quaterphenyl]-5'-yl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 870289-40-0 HCAPLUS
CN Acetic acid, [4-[[4-(dimethylamino)-4''-(trifluoromethyl)[1,1':3',1''-terphenyl]-5'-yl]methoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Me
$$_{\text{CH}_2-\text{O}}$$
 O- $_{\text{CH}_2-\text{CO}_2\text{H}}$

RN 870289-41-1 HCAPLUS
CN Acetic acid, [2-methyl-4-[[4-methyl-4''-(trifluoromethyl)[1,1':3',1''-terphenyl]-5'-yl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)

Me
$$O-CH_2-CO_2H$$
 CH_2-O
 CF_3

RN 870289-42-2 HCAPLUS
CN Acetic acid, [4-[[5-(6-methoxy-2-naphthalenyl)-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 870289-43-3 HCAPLUS
CN Acetic acid, [4-[[4-chloro-4''-(trifluoromethyl)[1,1':3',1''-terphenyl]-5'-yl]methoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 870289-44-4 HCAPLUS
CN Acetic acid, [4-[(4,4''-dichloro[1,1':3',1''-terphenyl]-5'-yl)methoxy]-2methylphenoxy]- (9CI) (CA INDEX NAME)

$$C1$$
 CH_2-O
 CH_2-CO_2H
 $C1$

RN 870289-46-6 HCAPLUS
CN Acetic acid, [2-methyl-4-[[5-(2-naphthalenyl)-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 870289-54-6 HCAPLUS

Acetic acid, [2-methyl-4-[[5-(4-pyridinyl)-4'-(trifluoromethyl)[1,1'-CN biphenyl]-3-yl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{O-CH}_2\text{-CO}_2\text{H} \\ \\ \text{CF}_3 \end{array}$$

870289-55-7 HCAPLUS Acetic acid, [2-methyl-4-[[4-(methylthio)-4''-RN

(trifluoromethyl)[1,1':3',1''-terphenyl]-5'-yl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)

MeS
$$O-CH_2-CO_2H$$
 CF_3

870289-56-8 HCAPLUS RN

Acetic acid, [4-[[[4,4''-bis(trifluoromethyl)[1,1':3',1''-terphenyl]-5'-CN yl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN

3

ACCESSION NUMBER:

2005:777848 HCAPLUS

DOCUMENT NUMBER:

145:262418

TITLE:

A Critical Assessment of Docking Programs and Scoring

Functions

AUTHOR(S):

Warren, Gregory L.; Andrews, C. Webster; Capelli, Anna-Maria; Clarke, Brian; LaLonde, Judith; Lambert, Millard H.; Lindvall, Mika; Nevins, Neysa; Semus, Simon F.; Senger, Stefan; Tedesco, Giovanna; Wall, Ian D.; Woolven, James M.; Peishoff, Catherine E.; Head,

Martha S.

CORPORATE SOURCE:

GlaxoSmithKline Pharmaceuticals, Collegeville, PA,

19426, USA

SOURCE:

Journal of Medicinal Chemistry (2006), 49(20),

5912-5931

CODEN: JMCMAR; ISSN: 0022-2623 American Chemical Society

PUBLISHER:

Journal English

DOCUMENT TYPE: LANGUAGE:

Docking is a computational technique that samples conformations of small mols. in protein binding sites; scoring functions are used to assess which of these conformations best complements the protein binding site. An evaluation of 10 docking programs and 37 scoring functions was conducted against eight proteins of seven protein types for three tasks: binding mode prediction, virtual screening for lead identification, and rank-ordering by affinity for lead optimization. All of the docking programs were able to generate ligand conformations similar to crystallog. determined protein/ligand complex structures for at least one of the targets. However, scoring functions were less successful at distinguishing the crystallog. conformation from the set of docked poses. Docking programs identified active compds. from a pharmaceutically relevant pool of decoy compds.; however, no single program performed well for all of the targets. For prediction of compound affinity, none of the docking programs or scoring functions made a useful prediction of ligand binding affinity.

IT 638215-26-6

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(critical assessment of docking programs and scoring functions)

RN 638215-26-6 HCAPLUS

CN Acetic acid, [2-methyl-4-[[[6-[4-(trifluoromethyl)phenyl]-2-

pyridinyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS 57 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2006 ACS on STN ANSWER 5 OF 19

ACCESSION NUMBER:

2005:612272 HCAPLUS

DOCUMENT NUMBER:

143:133168

TITLE:

A preparation of 3-(4-benzyloxyphenyl)propanoic acid derivatives, useful as GPR40 receptor modulators Yasuma, Tsuneo; Kitamura, Shuji; Negoro, Nobuyuki

INVENTOR(S): PATENT ASSIGNEE(S):

Takeda Pharmaceutical Company Limited, Japan

PCT Int. Appl., 169 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.				KIND DATE				APPLICATION NO.										
•	WO	2005	0637	29												20	0041	224	
			ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,	
												EC,							
												JP,							
												MK,							
												sc,							
				-								UZ,							
		RW:										SL,							
												BE,							
												IT,							
								BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	
						TD,					_								
											AU 2004-309271								
									CA 2004-2551610										
	JP 2006083154					A2	A2 20060330				JP 2004-373701					20041224			
								EP 2004-808091											
		R:										IT,							
			•				FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,	
			•	•	IS,	YU										- ^	0001	005	
PRIO	PRIORITY APPLN. INFO.:				.:					JP 2003-431629 JP 2004-241484									
											wo 2	004-	JP19	/41	1	N 21	UU41	224	

OTHER SOURCE(S):

MARPAT 143:133168

GI

The invention relates to a preparation of 3-(4-benzyloxyphenyl)propanoic acid derivs. of formula I [wherein: R1, R3, R4, and R5 are independently H, halogen, or hydrocarbon, etc.; R2 is halogen, NO2, NH2, or hydrocarbon, etc.; R6 and R7 are independently H, halogen, or alkoxy; R8 is H or (un)substituted amino-group; E is a bond, alkylene, or alkylene-O-alkylene, etc.; S is (un)substituted benzene] having a superior GPR40 receptor function modulating action, which can be used as an insulin secretagogue, an agent for the prophylaxis or treatment of diabetes. The invention compds. showed superior GPR40 receptor agonist activity, and also show superior properties as a pharmaceutical product, such as stability and the like. For instance, 3-(4-benzyloxyphenyl)propanoic acid derivative II (R9 = H; EC50 = 0.01 μM) was prepared via hydrolysis of ester II (R9 = Me) with a yield of 77%.

IT 858096-92-1P 858097-00-4P 858097-32-2P 858097-45-7P 858097-50-4P 858097-72-0P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of 3-(4-benzyloxyphenyl)propanoic acid derivs. useful as GPR40 receptor modulators)

RN 858096-92-1 HCAPLUS

CN Benzenepropanoic acid, 4-[[4'-(2-ethoxyethoxy)-2',6'-dimethyl[1,1'-biphenyl]-3-yl]methoxy]-2-fluoro-(9CI) (CA INDEX NAME)

RN

CN

RN 858097-00-4 HCAPLUS

CN Benzenepropanoic acid, 4-[(4'-methoxy-2',6'-dimethyl[1,1'-biphenyl]-3-yl)methoxy]- (9CI) (CA INDEX NAME)

RN 858097-32-2 HCAPLUS

CN Benzenepropanoic acid, 4-[[4'-[2-ethoxy-1-(ethoxymethyl)ethoxy]-2',6'-dimethyl[1,1'-biphenyl]-3-yl]methoxy]-2-fluoro-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & CH_2-OEt \\ \text{Me} & O-CH-CH_2-OEt \\ \hline \\ O-CH_2-OEt \\ \hline \end{array}$$

858097-45-7 HCAPLUS

Benzenepropanoic acid, 4-[[2',6'-dimethyl-4'-[3-(6-methyl-2-pyridinyl)propoxy][1,1'-biphenyl]-3-yl]methoxy]- (9CI) (CA INDEX NAME)

Me
$$CH_2-CH_2-CO_2H$$
 CH_2) $3-O$
 Me
 CH_2

RN 858097-50-4 HCAPLUS

CN Benzenepropanoic acid, 4-[[2',6'-dimethyl-4'-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)oxy][1,1'-biphenyl]-3-yl]methoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ O \\ O \\ \end{array}$$

RN 858097-72-0 HCAPLUS

CN Benzenepropanoic acid, 4-[[2',6'-dimethyl-4'-[(tetrahydro-4-hydroxy-1,1-dioxido-2H-thiopyran-4-yl)methoxy][1,1'-biphenyl]-3-yl]methoxy]-2-fluoro-

(9CI) (CA INDEX NAME)

RN 858096-74-9 HCAPLUS
CN Benzenepropanoic acid, 4-[(4'-hydroxy-2'-methyl[1,1'-biphenyl]-3yl)methoxy]- (9CI) (CA INDEX NAME)

Benzenepropanoic acid, 4-[[2'-methyl-4'-[(tetrahydro-2H-pyran-2-

yl)oxy][1,1'-biphenyl]-3-yl]methoxy]- (9CI) (CA INDEX NAME)

CN

$$HO_2C-CH_2-CH_2$$
 OH $O-CH_2$

RN 858096-76-1 HCAPLUS

CN Benzenepropanoic acid, 4-[(4'-methoxy-2'-methyl[1,1'-biphenyl]-3-yl)methoxy]- (9CI) (CA INDEX NAME)

$$HO_2C-CH_2-CH_2$$
 OMe

RN 858096-78-3 HCAPLUS

CN Benzenepropanoic acid, 4-[[4'-(cyclopropylmethoxy)-2'-methyl[1,1'-biphenyl]-3-yl]methoxy]- (9CI) (CA INDEX NAME)

RN 858096-80-7 HCAPLUS

CN Benzenepropanoic acid, 4-[[2'-methyl-4'-(1-methylethoxy)[1,1'-biphenyl]-3-yl]methoxy]- (9CI) (CA INDEX NAME)

RN 858096-82-9 HCAPLUS

CN Benzenepropanoic acid, 4-[[2'-methyl-4'-(phenylmethoxy)[1,1'-biphenyl]-3-yl]methoxy]- (9CI) (CA INDEX NAME)

$$HO_2C-CH_2-CH_2$$
 $O-CH_2-Ph$
 Me

RN 858096-84-1 HCAPLUS

CN Benzenepropanoic acid, 4-[[2'-methyl-4'-[2-(4-methyl-5-thiazolyl)ethoxy][1,1'-biphenyl]-3-yl]methoxy]- (9CI) (CA INDEX NAME)

$$_{S}$$
 $_{CH_{2}}$
 $_{CH_{2}}$
 $_{CH_{2}}$
 $_{O}$
 $_{O-CH_{2}}$
 $_{O-CH_{2}}$

RN 858096-86-3 HCAPLUS

CN Benzenepropanoic acid, 4-[[2'-methyl-4'-[3-(2-pyridinyl)propoxy][1,1'-biphenyl]-3-yl]methoxy]- (9CI) (CA INDEX NAME)

$$Me$$
 $CH_2-CH_2-CO_2H$ CH_2-O

RN 858096-88-5 HCAPLUS

CN Benzenepropanoic acid, 4-[[2'-methyl-4'-(1-propylbutoxy)[1,1'-biphenyl]-3-yl]methoxy]- (9CI) (CA INDEX NAME)

RN 858096-90-9 HCAPLUS

CN Benzenepropanoic acid, 4-[[2',6'-dimethyl-4'-(phenylmethoxy)[1,1'-biphenyl]-3-yl]methoxy]-2-fluoro-(9CI) (CA INDEX NAME)

RN 858096-95-4 HCAPLUS

CN Benzenepropanoic acid, 2-fluoro-4-[(4'-hydroxy-2',6'-dimethyl[1,1'-biphenyl]-3-yl)methoxy]- (9CI) (CA INDEX NAME)

$$HO_2C-CH_2-CH_2$$
 $O-CH_2$
 Me
 Me
 Me
 Me

RN 858096-97-6 HCAPLUS

CN Benzenepropanoic acid, 4-[[2',6'-dimethyl-4'-(1-propylbutoxy)[1,1'-biphenyl]-3-yl]methoxy]-2-fluoro-(9CI) (CA INDEX NAME)

$$HO_2C-CH_2-CH_2$$
 $O-CH_2$
 $O-CH_2$
 Me
 Me
 Me
 Me

RN 858097-01-5 HCAPLUS

CN Benzenepropanoic acid, 4-[(4'-hydroxy-2',6'-dimethyl[1,1'-biphenyl]-3-yl)methoxy]- (9CI) (CA INDEX NAME)

$$HO_2C-CH_2-CH_2$$
 $O-CH_2$ Me OH

RN 858097-03-7 HCAPLUS

CN Benzenepropanoic acid, 4-[(4'-chloro-2'-methyl[1,1'-biphenyl]-3-yl)methoxy]- (9CI) (CA INDEX NAME)

RN 858097-05-9 HCAPLUS

CN Benzenepropanoic acid, 4-[(4'-fluoro-2'-methyl[1,1'-biphenyl]-3-yl)methoxy]- (9CI) (CA INDEX NAME)

RN 858097-07-1 HCAPLUS

CN Benzenepropanoic acid, 4-[[4'-(2-ethoxyethoxy)-2',6'-dimethyl[1,1'-biphenyl]-3-yl]methoxy]- (9CI) (CA INDEX NAME)

RN 858097-09-3 HCAPLUS

CN Benzenepropanoic acid, 4-[[2',6'-dimethyl-4'-(phenylmethoxy)[1,1'-biphenyl]-3-yl]methoxy]- (9CI) (CA INDEX NAME)

$$HO_2C-CH_2-CH_2$$
 $O-CH_2-Ph$
 Me
 Me
 Me

RN 858097-11-7 HCAPLUS

CN Benzenepropanoic acid, 4-[[4'-(cyclopropylmethoxy)-2',6'-dimethyl[1,1'-biphenyl]-3-yl]methoxy]- (9CI) (CA INDEX NAME)

RN 858097-14-0 HCAPLUS

CN Benzenepropanoic acid, 4-[[4'-[2-(dimethylamino)ethoxy]-2',6'-dimethyl[1,1'-biphenyl]-3-yl]methoxy]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 858097-13-9 CMF C28 H33 N O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 858097-16-2 HCAPLUS
CN Benzenepropanoic acid, 4-[(2',4'-dimethyl[1,1'-biphenyl]-3-yl)methoxy](9CI) (CA INDEX NAME)

RN 858097-18-4 HCAPLUS

CN Benzenepropanoic acid, 4-[(2',4',6'-trimethyl[1,1'-biphenyl]-3-yl)methoxy](9CI) (CA INDEX NAME)

RN

RN 858097-20-8 HCAPLUS

CN Benzenepropanoic acid, 4-[(6-methoxy-2',4'-dimethyl[1,1'-biphenyl]-3-yl)methoxy]- (9CI) (CA INDEX NAME)

RN 858097-22-0 HCAPLUS

CN Benzenepropanoic acid, 2-fluoro-4-[(2',4',6'-trimethyl[1,1'-biphenyl]-3-yl)methoxy]- (9CI) (CA INDEX NAME)

$$HO_2C-CH_2-CH_2$$
 $O-CH_2$
 Me
 Me
 Me

858097-25-3 HCAPLUS

CN Benzenepropanoic acid, 2-fluoro-4-[[2'-[(4-fluorophenoxy)methyl]-4',6'-dimethyl[1,1'-biphenyl]-3-yl]methoxy]- (9CI) (CA INDEX NAME)

Me
$$CH_2-CH_2-CO_2H$$
 CH_2-O
 F

RN 858097-26-4 HCAPLUS

CN Benzenepropanoic acid, 2-fluoro-4-[[4'-[(4-fluorophenoxy)methyl]-2',6'-dimethyl[1,1'-biphenyl]-3-yl]methoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{CH}_2-\text{CH}_2-\text{CO}_2\text{H} \\ \\ \text{Me} \end{array}$$

RN 858097-35-5 HCAPLUS

CN Benzenepropanoic acid, 4-[[4'-(methoxymethoxy)-2',6'-dimethyl[1,1'-biphenyl]-3-yl]methoxy]- (9CI) (CA INDEX NAME)

$$HO_2C-CH_2-CH_2$$
 $O-CH_2-OMe$ Me $O-CH_2-OMe$ Me

RN 858097-36-6 HCAPLUS

CN Benzenepropanoic acid, 4-[(4'-ethoxy-2',6'-dimethyl[1,1'-biphenyl]-3-yl)methoxy]- (9CI) (CA INDEX NAME)

RN 858097-37-7 HCAPLUS

CN Benzenepropanoic acid, 4-[[4'-(2-butoxyethoxy)-2',6'-dimethyl[1,1'-biphenyl]-3-yl]methoxy]- (9CI) (CA INDEX NAME)

RN 858097-38-8 HCAPLUS

CN Benzenepropanoic acid, 4-[[2',6'-dimethyl-4'-[2-(phenylmethoxy)ethoxy][1,1'-biphenyl]-3-yl]methoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

$$HO_2C-CH_2-CH_2$$
 $O-CH_2-CH_2-O-CH_2$ $O-CH_2-CH_2-O-CH_2$ $O-CH_2-CH_2-O-CH_2$

PAGE 1-B

— Ph

RN 858097-39-9 HCAPLUS

CN Benzenepropanoic acid, 4-[[2',6'-dimethyl-4'-[3-(2-pyridinyl)propoxy][1,1'-biphenyl]-3-yl]methoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{CH}_2\text{-}\text{CH}_2\text{-}\text{CO}_2\text{H} \\ \\ \text{Me} \end{array}$$

RN 858097-40-2 HCAPLUS

CN Benzenepropanoic acid, 4-[(4'-butoxy-2',6'-dimethyl[1,1'-biphenyl]-3-yl)methoxy]- (9CI) (CA INDEX NAME)

RN 858097-42-4 HCAPLUS

CN Benzenepropanoic acid, 4-[[4'-[2-(ethylthio)ethoxy]-2',6'-dimethyl[1,1'-biphenyl]-3-yl]methoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{O-CH}_2\text{-CH}_2\text{-SEt} \\ \text{O-CH}_2\end{array}$$

RN 858097-47-9 HCAPLUS

CN Benzenepropanoic acid, $4-[[4'-(2-ethoxyethoxy)-2',6'-dimethyl[1,1'-biphenyl]-3-yl]methoxy]-<math>\alpha$, α -difluoro-(9CI) (CA INDEX NAME)

Updated Search

RN 858097-49-1 HCAPLUS

CN Benzenepropanoic acid, 4-[[2',6'-dimethyl-4'-[(tetrahydro-2H-thiopyran-4-yl)oxy][1,1'-biphenyl]-3-yl]methoxy]- (9CI) (CA INDEX NAME)

RN 858097-51-5 HCAPLUS

CN Benzenepropanoic acid, 4-[[2',6'-dimethyl-4'-[3-(6-methyl-2-pyridinyl)propoxy][1,1'-biphenyl]-3-yl]methoxy]-, hydrochloride (9CI) (CA INDEX NAME)

Me
$$CH_2-CH_2-CO_2H$$
 $CH_2-CH_2-CO_2H$ $CH_2-CH_2-CO_2H$

● HCl

RN 858097-52-6 HCAPLUS

CN Benzenepropanoic acid, 4-[[2',6'-dimethyl-4'-[(6-methyl-2-pyridinyl)methoxy][1,1'-biphenyl]-3-yl]methoxy]-, hydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{CH}_2 - \text{O} \\ \text{Me} \\ \end{array}$$

● HCl

RN 858097-53-7 HCAPLUS
CN Benzenepropanoic acid, 4-[[2',6'-dimethyl-4'-[2-(6-methyl-2-pyridinyl)ethoxy][1,1'-biphenyl]-3-yl]methoxy]-, hydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{CH}_2\text{-CH}_2\text{-CO}_2\text{H} \\ \text{Me} \end{array}$$

HCl

RN 858097-55-9 HCAPLUS
CN Benzenepropanoic acid, 4-[(2',6'-dimethyl-4'-nitro[1,1'-biphenyl]-3-yl)methoxy]-2-fluoro- (9CI) (CA INDEX NAME)

RN 858097-58-2 HCAPLUS
CN Benzenepropanoic acid, 4-[[4'-[2-(ethylsulfonyl)ethoxy]-2',6'-dimethyl[1,1'-biphenyl]-3-yl]methoxy]-2-fluoro- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{HO}_2\text{C}-\text{CH}_2-\text{CH}_2 & \begin{array}{c} \text{F} \\ \text{O} \\ \text{CH}_2 \end{array} \\ \begin{array}{c} \text{O} \\ \text{CH}_2 \end{array} \\ \begin{array}{c} \text{CH}_2 \\ \text{O} \end{array} \\ \begin{array}{c} \text{O} \\ \text{O} \end{array} \\ \begin{array}{c} \text{CH}_2 \\ \text{O} \end{array} \\ \begin{array}{c} \text{O} \\ \text{O} \end{array} \\ \begin{array}{c} \text{CH}_2 \\ \text{O} \end{array} \\ \begin{array}{c} \text{O} \\ \text{O} \end{array} \\ \begin{array}{c} \text{CH}_2 \\ \text{O} \end{array} \\ \begin{array}{c} \text{O} \\ \text{O} \end{array} \\ \begin{array}{c} \text{CH}_2 \\ \text{O} \end{array} \\ \begin{array}{c} \text{O} \\ \text{O} \end{array} \\ \begin{array}{c} \text{CH}_2 \\ \text{O} \end{array} \\ \begin{array}{c} \text{O} \\ \text{O} \end{array} \\ \begin{array}{c} \text{CH}_2 \\ \text{O} \end{array} \\ \begin{array}{c} \text{O} \\ \text{O} \end{array} \\ \begin{array}{c} \text{CH}_2 \\ \text{O} \end{array} \\ \\ \begin{array}{c} \text{CH}_2 \\ \text{O} \end{array} \\ \\ \begin{array}{c} \text{CH}_2 \\ \text{O} \end{array} \\ \begin{array}{c} \text{CH}_2 \\ \text{O} \end{array} \\ \\ \begin{array}{c} \text{CH}_2 \\ \text{O} \end{array} \\ \\ \begin{array}{c} \text{CH}_2 \\ \text{O} \end{array} \\ \\ \begin{array}{c} \text{CH}_2 \\ \text{O}$$

RN 858097-60-6 HCAPLUS

CN Benzenepropanoic acid, 4-[[4'-[2-(diethylamino)ethoxy]-2',6'-dimethyl[1,1'-biphenyl]-3-yl]methoxy]-2-fluoro-, hydrochloride (9CI) (CA INDEX NAME)

HC1

RN 858097-62-8 HCAPLUS
CN Benzenepropanoic acid, 4-[[2',6'-dimethyl-4'-[3-(2-oxo-1-

Benzenepropanoic acid, 4-[[2',6'-dimethyl-4'-[3-(2-0x0-1-pyrrolidinyl)propoxy][1,1'-biphenyl]-3-yl]methoxy]-2-fluoro- (9CI) (CA INDEX NAME)

$$HO_2C-CH_2-CH_2$$
 $O-CH_2$
 $O-CH_$

RN 858097-64-0 HCAPLUS

CN Benzenepropanoic acid, 2-fluoro-4-[[4'-(methoxymethoxy)-2',6'-

dimethyl[1,1'-biphenyl]-3-yl]methoxy]- (9CI) (CA INDEX NAME)

RN 858097-67-3 HCAPLUS
CN Benzenepropanoic acid, 4-[[4'-[2-(acetylethylamino)ethoxy]-2',6'-dimethyl[1,1'-biphenyl]-3-yl]methoxy]-2-fluoro- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Ac} \\ \text{HO}_2\text{C}-\text{CH}_2-\text{CH}_2-\text{CH}_2-\text{N}-\text{Et} \\ \\ \text{O}-\text{CH}_2-\text{CH}_2-\text{N}-\text{Et} \\ \\ \text{Me} \end{array}$$

RN 858097-69-5 HCAPLUS
CN Benzenepropanoic acid, 4-[[2',6'-dimethyl-4'-[(6-methyl-2-pyridinyl)methoxy][1,1'-biphenyl]-3-yl]methoxy]-2-fluoro-, hydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{CH}_2-\text{CH}_2-\text{CO}_2\text{H} \\ \\ \text{Me} \end{array}$$

HC1

RN 858097-74-2 HCAPLUS
CN Benzenepropanoic acid, 4-[[2',6'-dimethyl-4'-[(methylsulfonyl)oxy][1,1'-biphenyl]-3-yl]methoxy]-2-fluoro- (9CI) (CA INDEX NAME)

RN. 858097-76-4 HCAPLUS

CN Benzenepropanoic acid, 4-[[2',6'-dimethyl-4'-[(3-thienylsulfonyl)oxy][1,1'-biphenyl]-3-yl]methoxy]-2-fluoro- (9CI) (CA INDEX NAME)

$$O = S = O$$

$$O = S = O$$

$$Me$$

$$Me$$

$$Me$$

RN 858097-78-6 HCAPLUS

CN Benzenepropanoic acid, 4-[[4'-(2-ethoxyethoxy)-6-methoxy-2',6'-dimethyl[1,1'-biphenyl]-3-yl]methoxy]-2-fluoro- (9CI) (CA INDEX NAME)

RN 858097-80-0 HCAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[[[3'-[[4-(2-carboxyethyl)-3-fluorophenoxy]methyl]-2,6-dimethyl[1,1'-biphenyl]-4-yl]oxy]methyl]-, 1-(1,1-dimethylethyl) ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 858097-82-2 HCAPLUS

CN Benzenepropanoic acid, 4-[[2',6'-dimethyl-4'-[(6-methyl-2-pyridinyl)oxy][1,1'-biphenyl]-3-yl]methoxy]-2-fluoro-(9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{O} \\ \text{Me} \end{array}$$

RN 858097-83-3 HCAPLUS

CN Benzenepropanoic acid, 4-[[2',6'-dimethyl-4'-[(6-methyl-2-pyridinyl)oxy][1,1'-biphenyl]-3-yl]methoxy]-2-fluoro-, hydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{N} \\ \text{O} \\ \text{Me} \end{array}$$

● HCl

RN 858097-86-6 HCAPLUS

CN Benzenepropanoic acid, 4-[[2',6'-dimethyl-4'-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)oxy][1,1'-biphenyl]-3-yl]methoxy]-2-fluoro-(9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{CH}_2\text{-}\text{CH}_2\text{-}\text{CO}_2\text{H} \\ \\ \text{Me} \end{array}$$

858097-89-9 HCAPLUS RN

Benzenepropanoic acid, 4-[[2',6'-dimethyl-4'-[(3-methyl-3-CN oxetanyl)methoxy][1,1'-biphenyl]-3-yl]methoxy]-2-fluoro- (9CI) (CA INDEX NAME)

858097-91-3 HCAPLUS

RN Benzenepropanoic acid, 4-[[2',6'-dimethyl-4'-[(tetrahydro-2H-pyran-4-CN yl)oxy][1,1'-biphenyl]-3-yl]methoxy]-2-fluoro- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{CH}_2 - \text{CH}_2 - \text{CO}_2 \text{F} \\ \\ \text{Me} \end{array}$$

858097-92-4 HCAPLUS RN

Benzenepropanoic acid, 4-[[2',6'-dimethyl-4'-[(tetrahydro-2H-thiopyran-4-CN yl)oxy][1,1'-biphenyl]-3-yl]methoxy]-2-fluoro- (9CI) (CA INDEX NAME)

S

$$CH_2-CH_2-CO_2H$$
 Me
 Me
 Me
 Me
 Me

RN 858097-94-6 HCAPLUS

CN Benzenepropanoic acid, 4-[[4'-[3-(diethoxyphosphinyl)propoxy]-2',6'-dimethyl[1,1'-biphenyl]-3-yl]methoxy]-2-fluoro-(9CI) (CA INDEX NAME)

$$HO_2C-CH_2-CH_2$$

Me

 $O-(CH_2)_3-P-OEt$
 OEt

RN 858097-98-0 HCAPLUS

CN Benzenepropanoic acid, 4-[[2',6'-dimethyl-6-(1-methylethoxy)-4'-[(3-methyl-3-oxetanyl)methoxy][1,1'-biphenyl]-3-yl]methoxy]-2-fluoro-(9CI) (CA INDEX NAME)

RN 858097-99-1 HCAPLUS

CN Benzenepropanoic acid, 4-[[4'-[2-(ethylsulfonyl)ethoxy]-2',6'-dimethyl[1,1'-biphenyl]-3-yl]methoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \mathsf{HO_2C-CH_2-CH_2-CH_2-CH_2-CH_2-S-Et} \\ \mathsf{O-CH_2-CH_2-CH_2-S-Et} \\ \mathsf{O} \end{array}$$

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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6

ACCESSION NUMBER:

2005:587179 HCAPLUS

DOCUMENT NUMBER:

143:97158

TITLE:

Preparation of biphenyl compounds as PPAR δ

agonists, pharmaceuticals containing them, and their

uses

INVENTOR(S):

Uchiyama, Katsuya; Miyauchi, Hiroshi; Uno, Shinsaku

Sumitomo Pharmaceutical Co., Ltd., Japan

PATENT ASSIGNEE(S): SOURCE:

Jpn. Kokai Tokkyo Koho, 39 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

MARPAT 143:97158

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

DATE KIND DATE APPLICATION NO. PATENT NO. 20031219 JP 2005179281 A2 20050707 JP 2003-423747 20031219 JP 2003-423747 PRIORITY APPLN. INFO .:

OTHER SOURCE(S):

GI

$$R^{1}$$
 R^{3}
 R^{7}
 R^{5}
 R^{11}
 R^{12}
 R^{2}
 R^{2}
 R^{2}
 R^{3}
 R^{4}
 R^{9}
 R^{10}
 R^{8}
 R^{6}

Claimed are biphenyl compds. I [R1-R8 = H, OH, (un) substituted C1-6 alkyl, C2-6 alkenyl, C1-6alkoxy, C6-10 arylsulfonyloxy, C5-7 cyclic

I

aminocarbonyl, cyano, halo, etc.; adjacent 2 groups among R1-R8 may be linked to each other to form a condensed benzene, 5-6-membered (un)saturated carbocyclyl optionally containing 1-2 heteroatom; R9 = H, F, (un)substituted C1-6 alkyl, C1-11 acyl, carboxy; R9 and R10 may be linked to form C3-7 cycloalkane ring; R9 and/or R10 = substituent; R11, R12 = H, F, (un) substituted C1-6 alkyl; R11 and R12 may be linked to form C3-7 cycloalkane ring; W1, W2 = O, S, NR16 [R16 = H, (un)substituted C1-6 alkyl]; R13 = carboxy, (un) substituted C2-7 alkoxycarbonyl, C3-7 alkenyloxycarbonyl, carbamoyl, etc.] or their salts. Also claimed are pharmaceuticals, PPAR8 activators, blood HDL concentration-increasing agents, agents for treating low blood HDL, and antiarteriosclerotic agents containing I (salts). Thus, (+)-[4-[1-[4-fluoro-4'-(trifluoromethyl)-1,1'biphenyl-3-yl]ethoxy]-2-methylphenoxy]acetic acid (II), obtained by chiral chromatog. resolution of the racemate which was prepared from 5-bromo-2-fluorobenzaldehyde and 4-(trifluoromethyl)phenylboronic acid with 5 steps, showed PPAR8-agonistic activity at ED50 of 14 nM. Oral administration of II to mice for 6 wk showed 28% increase in blood

HDL cholesterol concentration

TΤ

857086-21-6P RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(preparation of biphenyl compds. as PPAR δ agonists for increasing blood HDL and treating arteriosclerosis)

857086-21-6 HCAPLUS RN

CN Acetic acid, [4-[1-[4-fluoro-4'-(trifluoromethyl)[1,1'-biphenyl]-3yl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

857086-34-1P 857086-35-2P ΙT

RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of biphenyl compds. as PPAR δ agonists for increasing blood HDL and treating arteriosclerosis)

857086-34-1 HCAPLUS RN

Acetic acid, [4-[1-[4-fluoro-4'-(trifluoromethyl)[1,1'-biphenyl]-3-CN yl]ethoxy]-2-methylphenoxy]-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

$$F_3C$$
 Me
 CO_2H

RN 857086-35-2 HCAPLUS

Acetic acid, [4-[1-[4-fluoro-4'-(trifluoromethyl)[1,1'-biphenyl]-3-CN yl]ethoxy]-2-methylphenoxy]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

857086-22-7P 857086-23-8P 857086-24-9P IT 857086-25-0P 857086-26-1P 857086-27-2P 857086-28-3P 857086-29-4P 857086-30-7P 857086-31-8P 857086-32-9P 857086-33-0P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of biphenyl compds. as PPAR δ agonists for increasing blood HDL and treating arteriosclerosis)

RN 857086-22-7 HCAPLUS

CN Acetic acid, [4-[1-[4-fluoro-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]propoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 857086-23-8 HCAPLUS

CN Acetic acid, [4-[1-[4-fluoro-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]butoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

$$r_3$$
C r_2 r_3 C r_4 r_5 r_6 r_6 r_6 r_6 r_6 r_7 r_6 r_7 r_6 r_7 $r_$

RN 857086-24-9 HCAPLUS

CN Acetic acid, [4-[1-[4-fluoro-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]-2-methylpropoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 857086-25-0 HCAPLUS

CN Acetic acid, [4-[[1-[4-fluoro-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 857086-26-1 HCAPLUS

CN Acetic acid, [4-[[4-fluoro-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]phenylmethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 857086-27-2 HCAPLUS

CN [1,1'-Biphenyl]-3-acetic acid, α -[4-(carboxymethoxy)-3-methylphenoxy]-4-fluoro-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 857086-28-3 HCAPLUS

CN Acetic acid, [4-[1-[4-fluoro-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]-2-hydroxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 857086-29-4 HCAPLUS

CN Acetic acid, [4-[1-[4-fluoro-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]-2-methoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 857086-30-7 HCAPLUS

CN Acetic acid, [4-[2-amino-1-[4-fluoro-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]-2-oxoethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 857086-31-8 HCAPLUS

CN Acetic acid, [4-[1-[4-fluoro-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]-2-oxopropoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 857086-32-9 HCAPLUS

CN Acetic acid, [4-[cyano[4-fluoro-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 857086-33-0 HCAPLUS

CN Acetic acid, [4-[[1-[4-fluoro-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]ethyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

L7 ANSWER 7 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2005:493499 HCAPLUS

DOCUMENT NUMBER:

143:48037

TITLE:

Receptor function regulating agent

INVENTOR(S):

Fukatsu, Kohji; Fujii, Ryo; Kobayashi, Makoto; Yonemori, Jinichi; Tanaka, Toshio

PATENT ASSIGNEE(S):

Takeda Pharmaceutical Company Limited, Japan

SOURCE:

PCT Int. Appl., 344 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.				KIND DATE				APPLICATION NO.						DATE			
	WO	2005	0513	73		A1	-	2005	0609	1	WO 2	004-	JP17	996		20	0041	126
		W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KΡ,	KR,	ΚZ,	LC,
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NA,	NI,
	•		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
			ТJ,	TM,	TN,	TR,	TT,	ΤZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
		RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	ΤZ,	UG,	ZM,	ZW,	AM,
			AZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
			EE,	ES,	FI,	FR,	GB,	GR,	ΗU,	ΙE,	IS,	IT,	LU,	MC,	NL,	PL,	PT,	RO,
							BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,
			ΝE,	SN,	TD,	TG					•					_		
		2547						2005	0609		CA 2	004-	2547	430		2	0041	126
	ΕP	1688						2006										
		R:						ES,								SE,	MC,	PT,
	•					RO,	CY,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,	IS	_ ^	0001	
PRIO	RIT	Y APP	LN.	INFO	. :							003-						
•								_				004-			Ì	W 2	0041	126
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		event																
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having a group capable of releasing an aromatic ring and a cation.

IT 853010-30-7P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic

preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)

(receptor function regulating agent)

RN 853010-30-7 HCAPLUS

CN Benzenepropanoic acid, 4-[(4'-chloro[1,1'-biphenyl]-3-yl)methoxy]-3,5-difluoro-(9CI) (CA INDEX NAME)

REFERENCE COUNT:

14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 8 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2005:409470 HCAPLUS

DOCUMENT NUMBER:

142:463453

TITLE:

Preparation of 4-((phenoxyalkyl)thio)phenoxyacetic

acids and analogs as PPAR δ agonists for treating

conditions like dyslipidemia

INVENTOR(S):

Kuo, Gee-Hong; Zhang, Rui; Wang, Aihua; Deangelis,

Alan R.

PATENT ASSIGNEE(S):

Janssen Pharmaceutica, N.V., Belg.

SOURCE:

PCT, Int. Appl., 146 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	•	KIN	D	DATE				ICAT				D.	ATE	
WO 2005042 WO 2005042												2	0040	916
CN GE	, AG, AL, , CO, CR, , GH, GM,	CU, HR,	CZ, HU,	DE, ID,	DK, IL,	DM, IN,	DZ, IS,	EC, JP,	EE, KE,	EG, KG,	ES, KP,	FI, KR,	GB, KZ,	GD, LC,
NC	, LR, LS, , NZ, OM, , TM, TN,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
RW: BW AZ	, GH, GM, , BY, KG,	KE, KZ,	LS, MD,	MW, RU,	ΜΖ, ΤJ,	NA, TM,	SD, AT,	SL, BE,	SZ, BG,	TZ, CH,	UG, CY,	ZM, CZ,	ZW, DE,	AM, DK,
SI	, ES, FI, , SK, TR, , TD, TG	BF,												
AU 2004285	849	A1		2005	0512		AU 2	004-	2858	49		2	0040	916
CA 2539446		AA		2005	0512		CA 2	004-	2539	446		2	0040	916
US 2005124	698	A1		2005	0609		US 2	004-	9424	78		2	0040	916
EP 1667964														
	, BE, CH, , SI, FI,										ΝL,	SE,	MC,	PI,
BR 2004014												2	0040	916
NO 2006001	728	A		2006	0619		NO 2	006-	1728			2	0060	419
	PRIORITY APPLN. INFO.:						US 2	003-	5041	46P		P 2	0030	919
							WO 2	004-	US30	375	Ţ	√ 2	0040	916
OTHER SOURCE(S)	:	MAR	PAT	142:	4634	53								

The invention features 4-((phenoxyalkyl)thio)-phenoxyacetic acids and AΒ analogs (shown as I; variables defined below; e.g. [2-methyl-4-[[2-methyl-3-[(4-trifluoromethylphenyl)oxy]propyl]sulfanyl]phenoxy]acetic acid (II)), compns. containing them, and methods of using them as PPAR8 modulators to treat or inhibit the progression of, for example, dyslipidemia. For I: X = a covalent bond, S, or O; Y is S or O; ---W--- represents a =CH-, -CH=, -CH2-, -CH2CH2-, =CHCH2-, -CH2CH=, =CHCH=, and -CH:CH-; Z = 0, CH, and CH2, provided when Y is 0, Z is 0; R1 and R2 = H, C1-3 alkyl, C1-3 alkoxy, halo, and NRaRb wherein; Ra and Rb = H or C1-3 alkyl; R3 and R4 = H, halo, cyano, hydroxy, acetyl, C1-5 alkyl, C1-4 alkoxy, and NRcRd wherein Rc and Rd = H or C1-3 alkyl, provided that R3 and R4 are not both H; R5 = halo, Ph, phenoxy, (phenyl)C1-5alkoxy, (phenyl)C1-5-alkyl, C2-5heteroaryloxy, C2-5heteroarylC1-5alkoxy, C2-5heterocyclyloxy, C1-9 alkyl, C1-8 alkoxy, C2-9 alkenyl, C2-9 alkenyloxy, C2-9 alkynyl, C2-9 alkynyloxy, C3-7 cycloalkyl, C3-7 cycloalkoxy, C3-7cycloalkyl-C1-7-alkyl, C3-7cycloalkyl-C1-7-alkoxy, C3-7cycloalkyloxy-C1-6alkyl, C1-6alkoxy-C1-6alkyl, C1-5alkoxy-C1-5alkoxy, or C3-7cycloalkyloxy-C1-1 or 2. EC50 values for PPARδ for 47 examples of I are tabulated. Although the methods of preparation are not claimed, .apprx.40 example prepns. are included. For example, II was prepared from (4-mercapto-2methylphenoxy) acetic acid Et ester and the mesylate of 2-methyl-3-[4-(trifluoromethyl)phenoxy]-1-propanol followed by saponification; prepns. of the starting materials are also described. 851529-54-9P, [2-Methyl-4-[[[4-(4-trifluoromethylphenyl)-3,6-ΙT dihydro-2H-pyran-2-yl]methyl]sulfanyl]phenoxy]acetic acid RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (drug candidate; preparation of 4-((phenoxyalkyl)thio)phenoxyacetic acids

(drug candidate; preparation of 4-((phenoxyalkyl)thio)phenoxyacetic acids and analogs as PPARδ agonists for treating conditions like dyslipidemia)

RN 851529-54-9 HCAPLUS

CN Acetic acid, [4-[[[3,6-dihydro-4-[4-(trifluoromethyl)phenyl]-2H-pyran-2-yl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

L7 ANSWER 9 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 2005:394834 HCAPLUS

DOCUMENT NUMBER: 142:447218
TITLE: Preparation of 4-[(thiadiazolylalkyl)thio]phenoxyaceti
c acids and analogs for treating PPAR mediated
conditions

INVENTOR(S): Kuo, Gee-Hong; Shen, Lan; Wang, Aihua; Zhang, Yan PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.

PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg SOURCE: U.S. Pat. Appl. Publ., 59 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE			
US 2005096362 US 7015329			US 2004-975785	20041028			
AU 2004285530	A1	20050512	AU 2004-285530	20041028			
CA 2544317	AA	20050512	CA 2004-2544317	20041028			
			WO 2004-US36028				
			BA, BB, BG, BR, BW,				
CN, CO, CR,	CU, CZ,	DE, DK, D	DM, DZ, EC, EE, EG,	ES, FI, GB, GD,			
			N, IS, JP, KE, KG,				
			ID, MG, MK, MN, MW,				
			RO, RU, SC, SD, SE,				
			JG, US, UZ, VC, VN,				
			NA, SD, SL, SZ, TZ,				
			M, AT, BE, BG, CH,				
EE, ES, FI,	FR, GB,	GR, HU, I	E, IT, LU, MC, NL,	PL, PT, RO, SE,			
SI, SK, TR,	BF, BJ,	CF, CG, C	CI, CM, GA, GN, GQ,	GW, ML, MR, NE,			
SN, TD, TG		•.					
EP 1684752	A1	20060802	EP 2004-796767	20041028			
			GB, GR, IT, LI, LU,				
			CY, AL, TR, BG, CZ,				
US 2006074246	A1	20060406	US 2005-274656	20051115			
NO 2006002511	Α	20060727	NO 2006-2511				
PRIORITY APPLN. INFO.:			US 2003-516561P	P 20031031			
			US 2004-975785				
			WO 2004-US36028	W 20041028			
OTHER SOURCE(S): GI	MARPAT	142:447218					

$$Me$$
 $S \longrightarrow N$
 $S \longrightarrow N$

AB The title compds. I [m = 1-3; n = 0-1; X = S, O; Y = S, CH2, O; R1, R2 = H, alkyl, alkoxy, etc.; R3, R4 = H, halo, CN, etc.; R5, R6 = H, alkyl, alkoxy, etc.; or R5 and R6 together may form spiro cycloalkyl or spiro 5-6 membered heterocyclyl having 1-3 heteroatoms selected from O, S, and N; R7, R8 = H, alkyl, cycloalkyl], useful as PPAR modulators to treat or inhibit the progression of, for example, dyslipidemia, were prepared E.g., a multi-step synthesis of II, starting from Et (2-methylphenoxy)acetate, was given. Compound II showed EC50 of 10 nM and of 468 nM against PPARδ and PPARα, resp. The pharmaceutical compns. comprising I are disclosed.

IT 851224-78-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-[(thiadiazolylalkyl)thio]phenoxyacetic acids and analogs for treating PPAR mediated conditions)

Ι

RN 851224-78-7 HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[5-[4-(trifluoromethyl)phenyl]-3-pyridinyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2006 ACS on STN ANSWER 10 OF 19 L7

ACCESSION NUMBER:

2005:394829 HCAPLUS

DOCUMENT NUMBER: TITLE:

142:463605 Preparation aryloxyacetic acids and related compounds

as PPAR δ and PPAR α agonists

INVENTOR(S):

Ackermann, Jean; Aebi, Johannes; Binggeli, Alfred; Grether, Uwe; Hirth, Georges; Kuhn, Bernd; Maerki, Hans-Peter; Meyer, Markus; Mohr, Peter; Wright,

Matthew Blake

PATENT ASSIGNEE(S):

SOURCE:

Hoffmann-La Roche Inc., USA U.S. Pat. Appl. Publ., 89 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE			
US 2005096337			20041029			
	B2 20061003		20041020			
AU 2004291262		AU 2004-291262	20041028			
CA 2543249	AA 20050602	CA 2004-2543249	20041028			
WO 2005049573	A1 20050602	WO 2004-EP12217	20041028			
W: AE, AG, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BW,	BY, BZ, CA, CH,			
CN, CO, CR;	CU, CZ, DE, DK,	DM, DZ, EC, EE, EG,	ES, FI, GB, GD,			
GE, GH, GM,	HR, HU, ID, IL,	IN, IS, JP, KE, KG,	KP, KR, KZ, LC,			
LK, LR, LS,	LT, LU, LV, MA,	MD, MG, MK, MN, MW,	MX, MZ, NA, NI,			
		RO, RU, SC, SD, SE,				
		UG, US, UZ, VC, VN,				
		NA, SD, SL, SZ, TZ,				
AZ BY KG	KZ. MD. RU. TJ.	TM, AT, BE, BG, CH,	CY, CZ, DE, DK,			
FE FS FT.	FR. GR. GR. HU.	IE, IT, LU, MC, NL,	PI. PT. RO. SE.			
		CI, CM, GA, GN, GQ,				
SN, TD, TG	ы, во, ег, ев,	01, 011, 011, 011, 02,	on, 112, 1111, 112,			
	71 20060726	EP 2004-790987	20041028			
		GB, GR, IT, LI, LU,				
1E, SI, LT,	LV, FI, RO, CI,	TR, BG, CZ, EE, HU,	PL, SK, RK			
NO 2006002135	A 20060524	NO 2006-2135 EP 2003-104081	20060512			
PRIORITY APPLN. INFO.:		EP 2003-104081	A 20031105			
		EP 2004-100759				
		WO 2004-EP12217	W 20041028			
OTHER SOURCE(S):	MARPAT 142:4636	05				

GI

ΙI

Ι

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Title compds. I [X = O, S, CH2; R1 = H, alkyl; R2 = H, alkyl with
AΒ
     provisos; R3 = H, alkyl; R4, R8 = H, alkyl, cycloalkyl, etc.; R5, R6, R7 =
     H, alkyl, cycloalkyl, etc.] and their pharmaceutically acceptable salts
     and formulations were prepared For example, saponification of Et ester II (Z =
    OEt), afforded acid II (Z = OH) as a light yellow solid. In PPAR\alpha
     receptor binding assays, 3-examples of compds. I exhibited IC50 values
     ranging from 0.013-0.289 μmmol/l. Compds. I are claimed to be useful
     for the treatment of diseases modulated by PPAR8 and PPAR\alpha
     agonist.
     851505-97-0P 851505-98-1P 851506-06-4P
IT
     851506-07-5P 851506-08-6P 851506-11-1P
     851506-12-2P 851506-13-3P 851506-14-4P
     851506-23-5P 851506-24-6P 851506-25-7P
     851506-26-8P 851506-27-9P 851506-29-1P
     851506-30-4P 851506-32-6P 851506-34-8P
     851506-35-9P 851506-36-0P 851506-37-1P
     851506-38-2P 851506-39-3P 851506-40-6P
     851506-51-9P 851506-61-1P 851506-62-2P
     851506-63-3P 851506-79-1P 851506-80-4P
     851506-81-5P 851506-97-3P 851507-09-0P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation aryloxyacetic acids and related compds. as PPAR8 and
        PPARα agonists)
     851505-97-0 HCAPLUS
RN
     Propanoic acid, 2-methyl-2-[2-methyl-4-[[2-methyl-6-[3-
CN
     (trifluoromethyl)phenyl]-3-pyridinyl]methoxy]phenoxy]- (9CI)
     NAME)
```

RN 851505-98-1 HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[6-[4-(trifluoromethyl)phenyl]-3-pyridinyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 851506-06-4 HCAPLUS

CN Acetic acid, [2-methyl-4-[[1-[2-methyl-6-[4-(trifluoromethyl)phenyl]-3-pyridinyl]ethyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 851506-07-5 HCAPLUS

CN Acetic acid, [2-methyl-4-[[1-[2-methyl-6-[4-(trifluoromethyl)phenyl]-3-pyridinyl]propyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 851506-08-6 HCAPLUS

CN Acetic acid, [2-methyl-4-[[1-[2-methyl-6-[3-(trifluoromethyl)phenyl]-3-pyridinyl]ethyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 851506-11-1 HCAPLUS

CN Acetic acid, [2-methyl-4-[[1-[2-methyl-6-[4-(trifluoromethyl)phenyl]-3-pyridinyl]butyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

$$Me$$
 ho_2c-ch_2-o
 $n-Pr$
 $S-Ch$
 N
 CF_3

RN 851506-12-2 HCAPLUS

CN Acetic acid, [4-[[cyclopentyl[2-methyl-6-[4-(trifluoromethyl)phenyl]-3-pyridinyl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 851506-13-3 HCAPLUS

CN Propanoic acid, 2-[4-[[6-[4-fluoro-3-(trifluoromethyl)phenyl]-2-methyl-3-pyridinyl]methoxy]-2-methylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)

RN 851506-14-4 HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[1-[2-methyl-6-[3-(trifluoromethyl)phenyl]-3-pyridinyl]ethoxy]phenoxy]- (9CI) (CA INDEX

. 10518679

NAME)

RN 851506-23-5 HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[2-methyl-6-[4-(trifluoromethyl)phenyl]-3-pyridinyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{Me} \\ \text{HO}_2\text{C}-\text{C}-\text{O} & \text{Me} \\ \text{Me} & \text{O-CH}_2 & \text{N} \end{array}$$

RN 851506-24-6 HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[1-[2-methyl-6-[4-(trifluoromethyl)phenyl]-3-pyridinyl]butoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 851506-25-7 HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[1-[2-methyl-6-[4-(trifluoromethyl)phenyl]-3-pyridinyl]propoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 851506-26-8 HCAPLUS

CN Propanoic acid, $2-\text{methyl}-2-[2-\text{methyl}-4-[1-[2-\text{methyl}-6-[4-\text{methyl}-4-[1-[2-\text{methyl}-6-[4-\text{methy$

(trifluoromethyl)phenyl]-3-pyridinyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 851506-27-9 HCAPLUS

CN Propanoic acid, 2-[4-[[6-[3-fluoro-4-(trifluoromethyl)phenyl]-2-methyl-3-pyridinyl]methoxy]-2-methylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)

RN 851506-29-1 HCAPLUS

CN Propanoic acid, 2-[4-[[2-cyclopropyl-6-[4-(trifluoromethyl)phenyl]-3-pyridinyl]methoxy]-2-methylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

CF3

RN 851506-30-4 HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[1-[2-methyl-6-[3-(trifluoromethyl)phenyl]-3-pyridinyl]butoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 851506-32-6 HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[2-[2-methyl-6-[4-(trifluoromethyl)phenyl]-3-pyridinyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)

$$HO_2C-C-O$$
 Me
 $O-CH_2-CH_2$
 N
 CF_3

RN 851506-34-8 HCAPLUS

CN Propanoic acid, 2-[4-[[2-(methoxymethyl)-6-[4-(trifluoromethyl)phenyl]-3-pyridinyl]methoxy]-2-methylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)

$$Me$$
 HO_2C-C-O
 Me
 $O-CH_2$
 N
 CF_3

RN 851506-35-9 HCAPLUS

CN Acetic acid, [2-methyl-4-[1-[2-methyl-6-[4-(trifluoromethyl)phenyl]-3-pyridinyl]butoxy]phenoxy]- (9CI) (CA INDEX NAME)

$$Me$$
 $n-Pr$
 $O-CH$
 N
 $CF3$

RN 851506-36-0 HCAPLUS

Acetic acid, [2-methyl-4-[1-[2-methyl-6-[3-(trifluoromethyl)phenyl]-3-CN pyridinyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN

851506-37-1 HCAPLUS Acetic acid, [2-methyl-4-[1-[2-methyl-6-[3-(trifluoromethyl)phenyl]-3-CN pyridinyl]butoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN851506-38-2 HCAPLUS

Acetic acid, [2-methyl-4-[1-[2-methyl-6-[4-(trifluoromethyl)phenyl]-3-CN pyridinyl]propoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 851506-39-3 HCAPLUS

Acetic acid, [2-methyl-4-[1-[2-methyl-6-[4-(trifluoromethyl)phenyl]-3pyridinyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 851506-40-6 HCAPLUS CN Propanoic acid, 2-[4-[[2-

Propanoic acid, 2-[4-[[2-[(dimethylamino)methyl]-6-[4-(trifluoromethyl)phenyl]-3-pyridinyl]methoxy]-2-methylphenoxy]-2-methyl-(9CI) (CA INDEX NAME)

RN 851506-51-9 HCAPLUS

CN Benzenepropanoic acid, 3-ethoxy-4-[[2-methyl-6-[4-(trifluoromethyl)phenyl]-3-pyridinyl]methoxy]- (9CI) (CA INDEX NAME)

RN 851506-61-1 HCAPLUS

CN Benzenepropanoic acid, 2-methyl-4-[1-[2-methyl-6-[4-(trifluoromethyl)phenyl]-3-pyridinyl]ethoxy]- (9CI) (CA INDEX NAME)

RN 851506-62-2 HCAPLUS

CN Benzenepropanoic acid, 3-methyl-4-[[2-methyl-6-[4-(trifluoromethyl)phenyl]-3-pyridinyl]methoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{O-CH}_2 \\ \text{HO}_2\text{C-CH}_2 \\ \text{CF}_3 \\ \end{array}$$

RN 851506-63-3 HCAPLUS

CN Benzenepropanoic acid, 3-methyl-4-[1-[2-methyl-6-[4-(trifluoromethyl)phenyl]-3-pyridinyl]ethoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{Me} & \text{Me} \\ \hline & \text{O-CH} & \text{N} \\ \hline \\ \text{HO}_2\text{C-CH}_2\text{-CH}_2 \\ \end{array}$$

RN 851506-79-1 HCAPLUS

CN Propanoic acid, 2-[2-methoxy-4-[[2-methyl-6-[4-(trifluoromethyl)phenyl]-3-pyridinyl]methoxy]phenoxy]-2-methyl- (9CI) (CA INDEX NAME)

RN 851506-80-4 HCAPLUS

CN Propanoic acid, 2-[2-methoxy-4-[1-[2-methyl-6-[4-(trifluoromethyl)phenyl]-3-pyridinyl]ethoxy]phenoxy]-2-methyl- (9CI) (CA INDEX NAME)

RN 851506-81-5 HCAPLUS

CN Benzenepropanoic acid, 2-methoxy-4-[[2-methyl-6-[4-(trifluoromethyl)phenyl]-3-pyridinyl]methoxy]- (9CI) (CA INDEX NAME)

RN 851506-97-3 HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[4-(trifluoromethyl)-6-[4-(trifluoromethyl)phenyl]-3-pyridinyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)

$$Me$$
 HO_2C
 $C-C$
 Me
 $O-CH_2$
 F_3C
 CF_3

RN 851507-09-0 HCAPLUS

Benzenepropanoic acid, 2-methyl-4-[3-methyl-1-[2-methyl-6-[4-(trifluoromethyl)phenyl]-3-pyridinyl]butoxy]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 11 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2004:1019618 HCAPLUS

DOCUMENT NUMBER:

142:69141

TITLE:

CN

Methods of identifying non-specific inhibitors of

biomolecules

INVENTOR(S):

Shoichet, Brian K.; McGovern, Susan L.

PATENT ASSIGNEE(S):

Northwestern University, USA

SOURCE:

U.S. Pat. Appl. Publ., 16 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
US 2004234942	A1	20041125	US 2002-171814	20020614		

US 6887658 B2 20050503

PRIORITY APPLN. INFO.: US 2001-298527P P 20010615

The invention provides methods of identifying compds. that non-specifically inhibit biol. reactions. The invention further includes kits that facilitate this identification. In addition, compilations of compds. for use in high throughput drug screening that have been evaluated by the disclosed methodol. are also part of the d invention. The invention provides methods for identifying a false pos. in a screening assay by measuring the activity of at least one biol. activity in the presence and absence of a small mol. compound capable of inhibiting aggregate formation, e.g., digitonin.

IT 813420-84-7

RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)

(methods of identifying non-specific inhibitors of biomols.)

RN 813420-84-7 HCAPLUS

CN 4-Pyridinecarboxylic acid, 2,6-bis(4-chlorophenyl)-, 4-(1-carboxy-3-methylbutoxy)phenyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT:

12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 12 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2004:412803 HCAPLUS

DOCUMENT NUMBER:

141:1264

TITLE:

Receptor function controlling agent

INVENTOR(S):

Fukatsu, Kohji; Sasaki, Shinobu; Hinuma, Shuji; Ito, Yasuaki; Suzuki, Nobuhiro; Harada, Masataka; Yasuma,

Tsuneo

PATENT ASSIGNEE(S):

Takeda Chemical Industries, Ltd., Japan

SOURCE:

PCT Int. Appl., 442 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.					KIN	D :	DATE		2	APPL	ICAT	DATE					
WO 2004041266					A1 20040521			1	NO 2	003-	20031106						
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,	GE,
		GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KR,	ΚZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	ΝI,	NO,	ΝZ,	OM,
		PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ТJ,	TM,	TN,
		TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW			

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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
            BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
            ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
                                            CA 2003-2505322
                                                                    20031106
                                20040521
    CA 2505322
                          AA
                                            AU 2003-277576
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                                20040607
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                          A1
                                                                    20031106
                          A2
                                20050120
                                            JP 2003-376833
    JP 2005015461
                                                                    20031106
                                            EP 2003-810621
    EP 1559422
                          A1
                                20050803
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
                                            CN 2003-80108260
                                                                    20031106
    CN 1735408
                                20060215
                          Α
                                                                    20021108
                                             JP 2002-324632
PRIORITY APPLN. INFO .:
                                             JP 2003-16889
                                                                    20030127
                                                                 Α
                                                                    20030530
                                             JP 2003-153986
                                                                 Α
                                                                 W
                                                                    20031106
                                             WO 2003-JP14139
                         MARPAT 141:1264
OTHER SOURCE(S):
    A GPR40 receptor function controlling agent which contains a compound having
    an aromatic ring and a group capable of releasing a cation and is useful as a
     insulin secretion promoting agent or a preventive/remedy for diabetes,
     etc.
     691900-29-5P 691900-39-7P 691900-43-3P
IT
     691901-52-7P 691901-54-9P 691901-56-1P
     691901-58-3P 691901-74-3P 691901-94-7P
     691902-31-5P 691902-33-7P 691902-35-9P
     691902-37-1P 691902-39-3P 691902-41-7P
     691902-56-4P 691902-57-5P 691902-58-6P
     691902-66-6P 691902-68-8P 691902-70-2P
     691902-74-6P 691903-19-2P 691903-66-9P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (GPR40 receptor function controlling agents as antidiabetics)
RN
     691900-29-5 HCAPLUS
     Benzenepropanoic acid, 4-[(4'-chloro[1,1'-biphenyl]-3-yl)methoxy]- (9CI)
CN
     (CA INDEX NAME)
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RN 691900-39-7 HCAPLUS
CN Benzenepropanoic acid, 4-[[3'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methoxy]- (9CI) (CA INDEX NAME)

RN 691900-43-3 HCAPLUS
CN Benzenepropanoic acid, 4-[(2',6'-dimethyl[1,1'-biphenyl]-3-yl)methoxy]-

(9CI) (CA INDEX NAME)

RN 691901-52-7 HCAPLUS CN Benzenepropanoic acid, 4-[(3'-chloro[1,1'-biphenyl]-3-yl)methoxy]- (9CI) (CA INDEX NAME)

RN 691901-54-9 HCAPLUS
CN Benzenepropanoic acid, 4-[(2'-chloro[1,1'-biphenyl]-3-yl)methoxy]- (9CI)
(CA INDEX NAME)

RN 691901-56-1 HCAPLUS
CN Benzenepropanoic acid, 4-[(3'-methyl[1,1'-biphenyl]-3-yl)methoxy]- (9CI)
(CA INDEX NAME)

RN 691901-58-3 HCAPLUS
CN Benzenepropanoic acid, 4-[(3'-fluoro[1,1'-biphenyl]-3-yl)methoxy]- (9CI)
(CA INDEX NAME)

RN 691901-74-3 HCAPLUS

CN Benzenepropanoic acid, 4-[(2'-fluoro[1,1'-biphenyl]-3-yl)methoxy]- (9CI) (CA INDEX NAME)

RN 691901-94-7 HCAPLUS

CN Benzenepropanoic acid, 4-[(2'-methyl[1,1'-biphenyl]-3-yl)methoxy]- (9CI) (CA INDEX NAME)

RN 691902-31-5 HCAPLUS

CN Benzenepropanoic acid, 4-[(2',6'-dimethyl[1,1'-biphenyl]-3-yl)methoxy]-2-methyl- (9CI) (CA INDEX NAME)

RN 691902-33-7 HCAPLUS

CN Benzenepropanoic acid, 4-[(2',6'-dimethyl[1,1'-biphenyl]-3-yl)methoxy]-2-fluoro-(9CI) (CA INDEX NAME)

RN 691902-35-9 HCAPLUS

CN Benzenepropanoic acid, 4-[(2',6'-dimethyl[1,1'-biphenyl]-3-yl)methoxy]-2-methoxy- (9CI) (CA INDEX NAME)

Updated Search

$$HO_2C-CH_2-CH_2$$
 OMe $O-CH_2$ Me $O-CH_2$

691902-37-1 HCAPLUS RN

Benzenepropanoic acid, 2-chloro-4-[(2',6'-dimethyl[1,1'-biphenyl]-3-CN (CA INDEX NAME) yl)methoxy]- (9CI)

$$HO_2C-CH_2-CH_2$$
 $O-CH_2$
 Me
 Me

691902-39-3 HCAPLUS RN

Benzenepropanoic acid, 4-[(2',6'-dimethyl[1,1'-biphenyl]-4-yl)methoxy]-CN (9CI) (CA INDEX NAME)

691902-41-7 HCAPLUS

RNBenzenepropanoic acid, 4-[(2',6'-dimethyl[1,1'-biphenyl]-4-yl)methoxy]-2-CN fluoro- (9CI) (CA INDEX NAME)

$$HO_2C-CH_2-CH_2$$
 $O-CH_2$
 Me
 Me

RN 691902-56-4 HCAPLUS

Benzenepropanoic acid, 4-[(2'-methyl-6'-propyl[1,1'-biphenyl]-3-CN yl)methoxy]- (9CI) (CA INDEX NAME)

$$HO_2C-CH_2-CH_2$$
 $O-CH_2$ Me

RN 691902-57-5 HCAPLUS

CN Benzenepropanoic acid, 4-[[2'-methyl-6'-(2-methylpropyl)[1,1'-biphenyl]-3-yl]methoxy]- (9CI) (CA INDEX NAME)

RN 691902-58-6 HCAPLUS

CN Benzenepropanoic acid, 4-[(2'-ethyl-6'-methyl[1,1'-biphenyl]-3-yl)methoxy](9CI) (CA INDEX NAME)

RN 691902-66-6 HCAPLUS

CN Benzenepropanoic acid, 4-[(2,2',6'-trimethyl[1,1'-biphenyl]-3-yl)methoxy]-(9CI) (CA INDEX NAME)

$$HO_2C-CH_2-CH_2$$
 $O-CH_2$ Me Me Me Me Me

RN 691902-68-8 HCAPLUS

CN Benzenepropanoic acid, 4-[(6-methoxy-2',6'-dimethyl[1,1'-biphenyl]-3-yl)methoxy]- (9CI) (CA INDEX NAME)

691902-70-2 HCAPLUS RN

Benzenepropanoic acid, 4-[(4-methoxy-2',6'-dimethyl[1,1'-biphenyl]-3-CN yl)methoxy]- (9CI) (CA INDEX NAME)

691902-74-6 HCAPLUS RN

Benzenepropanoic acid, 4-[(2',6'-dimethyl[1,1'-biphenyl]-3-yl)methoxy]-2,6-CN difluoro- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{CH}_2\text{-}\text{CH}_2\text{-}\text{CO}_2\text{H} \\ \\ \text{Me} \end{array}$$

691903-19-2 HCAPLUS

RN Benzenepropanoic acid, 4-[(2',6'-difluoro[1,1'-biphenyl]-3-yl)methoxy]-CN (CA INDEX NAME) (9CI)

RN691903-66-9 HCAPLUS

Benzenepropanoic acid, 4-[[2',6'-dimethyl-6-(phenylmethoxy)[1,1'-biphenyl]-CN 3-y1]methoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{O-CH}_2 - \text{CH}_2 \\ \text{O-CH}_2 - \text{Ph} \end{array}$$

ANSWER 13 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 2004:60458 HCAPLUS

DOCUMENT NUMBER:

140:111286

TITLE:

Preparation of biaryl derivatives as agonists of peroxisome proliferator activated receptor δ

(PPARδ)

INVENTOR(S):

Miyauchi, Hiroshi; Uchiyama, Katsuya; Ban, Hitoshi;

Morishita, Koji; Muraoka, Masami

PATENT ASSIGNEE(S):

Sumitomo Pharmaceuticals Co., Ltd., Japan

SOURCE:

PCT Int. Appl., 124 pp.

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

CODEN: PIXXD2

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PAT	rent 1	KIND DATE				i	APPL:	ICAT:		DATE							
	WO 2004007439				A1 20040122				1	WO 2	003-	JP86	20030708					
		W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
						CZ,												
						ID,												
			LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NI,	NO,	NZ;	OM,	PG,
			PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ТJ,	TM,	TN,	TR,
						UG,												
		RW:				LS,									ZW,	AM,	ΑŻ,	BY,
						RU,												
			FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
			BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG
AU 2003281040					A1		2004	0202		AU 2	003-	2810	20030708					
PRIORITY APPLN. INFO.:									JP 2	002-	2017	38		A 2	0020	710		
											JP 2	002-	2680	82		A 2	0020	913
										,	WO 2	003-	JP86	83	1	v 2	0030	708
										~ ~								

OTHER SOURCE(S):

MARPAT 140:111286

GI

Compds. represented by the following general formula (I) or salt thereof AB [wherein R1-R8 = H, HO, each (un) substituted C1-6 alkyl, C2-6 alkenyl, C1-6 alkoxy, C1-11 acyloxy, C2-7 alkoxycarbonyl, C1-6 alkylcarbamoyl, di(C1-6 alkyl)carbamoyl, C1-6 alkylsulfonyloxy, or C6-10 arylsulfonyloxy, carbamoyl, CO2H, cyano, halo, etc.; or if R1 and R2, R3 and R4, R5 and R7, or R6 and R8 are adjacent to each other, they together from an (un) substituted benzene ring, (un) saturated 5- or 6-membered carbocyclic or heterocyclic ring containing 1 or 2 heteroatoms in the latter; R9, R10 = H, (un) substituted C1-6 alkyl; R11, R12 = H, F, (un) substituted C1-6 alkyl; or R11 and R12 together with the carbon atoms to which they are attached form a (un)substituted C3-7 cycloalkane ring; W1, W2 = O, S, NR16; wherein R16 = H, (un) substituted C1-6 alkyl; A = CR3, N; R13 = CO2H, each

Ι

(un) substituted C2-7 alkoxycarbonyl, C3-7 alkenyloxycarbonyl, C7-16 arylalkoxycarbonyl, carbamoyl, or (C3-6 cycloalkyl)carbamoyl, tetrazolyl, etc.] are prepared These compds., e.g. [4-[[[4-fluoro-4'-(trifluoromethyl)-1,1'-biphenyl-3-yl]methyl]thio]-2-methylphenoxy]acetic acid (II), N-(2-furylmethyl)-2-[4-[[[4-fluoro-4'-(trifluoromethyl)-1,1'-biphenyl-3-(1-fluoromethyl-3-(1-fluoromethyl-3-(1-fluoromethyl-3-(1-fluoromethyl-3-(1-fluoromethyl-3-(1-fluoromethyl-3-(1-fluoromethyl-3-(1-fluoromethyl-3-(1-fluoromethyl]methyl]thio]-2-methylphenoxy]acetamide (III), 2-[4-[[[4-fluoro-4'-(trifluoromethyl)-1,1'-biphenyl-3-yl]methyl]thio]-2-methylphenoxy]-N-(pyridin-2-ylmethyl)acetamide (IV), and [4-[[[3-fluoro-6-[4-(trifluoromethyl)phenyl]pyridin-2-yl]methyl]thio]-2-methylphenoxy]acetic acid have an agonistic activity to peroxisome proliferator activated receptor δ (PPAR δ) and, therefore, are useful as agents elevating blood high d. lipoprotein (HDL) level, remedies for hypo-HDL cholesterolemia and/or remedies for arteriosclerosis. Thus, II, III, and IV inhibited luciferase activity with EC50 of 23, 10, and 13 nM, resp., in COS-1 cells introduced with 3 plasmids including PPAR8-LBD (ligand binding domain) fused to Gal-4 DNA binding domain, firefly-derived luciferase reporter having Gal-4 binding DNA sequence in the promotor domain, and luciferase expression plasmid. 638215-22**-**2P

ΙT

RN

CN

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of biaryl derivs. as agonists of peroxisome proliferator activated receptor δ (PPAR δ) and agents elevating blood high d. lipoprotein (HDL) level for treatment of arteriosclerosis)

638215-22-2 HCAPLUS

Acetic acid, [2-methyl-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

638215-23-3P 638215-26-6P 648437-33-6P ΙT 648437-34-7P 648437-35-8P 648437-36-9P 648437-37-0P 648437-38-1P 648437-39-2P 648437-40-5P 648437-41-6P 648437-42-7P 648437-43-8P 648437-44-9P 648437-45-0P 648437-46-1P 648437-47-2P 648437-48-3P 648437-49-4P 648437-50-7P 648437-51-8P 648437-52-9P 648437-53-0P 648437-54-1P 648437-55-2P 648437-56-3P 648437-57-4P 648437-58-5P 648437-59-6P 648437-60-9P 648437-61-0P 648437-63-2P 648437-64-3P 648437-65-4P 648437-66-5P 648437-67-6P 648437-68-7P 648437-69-8P 648437-70-1P 648437-71-2P 648437-72-3P 648437-73-4P 648437-74-5P 648437-75-6P 648437-76-7P 648437-77-8P 648437-78-9P 648437-79-0P 648437-80-3P 648437-81-4P 648437-82-5P 648437-83-6P 648437-84-7P 648437-85-8P 648437-86-9P 648437-87-0P 648437-88-1P 648437-89-2P 648437-90-5P 648437-91-6P

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648437-92-7P 648437-93-8P 648437-94-9P
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              648438-49-7P 648438-50-0P 648438-51-1P
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              648438-61-3P 648438-62-4P 648438-63-5P
               648438-64-6P 648438-65-7P 648438-66-8P
               648438-67-9P 648438-68-0P 648438-75-9P
               648438-76-0P
              RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
               (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
                        (preparation of biaryl derivs. as agonists of peroxisome proliferator
                        activated receptor \delta (PPAR\delta) and agents elevating blood
                       high d. lipoprotein (HDL) level for treatment of arteriosclerosis)
RN
               638215-23-3 HCAPLUS
              Acetic acid, [2-methyl-4-[[[4-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl-1)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl-1)[1,1'-biphenyl]-3-methyl-4'-(trifluoromethyl-1)[
CN
              yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)
```

RN 638215-26-6 HCAPLUS
CN Acetic acid, [2-methyl-4-[[[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 648437-33-6 HCAPLUS
CN Acetic acid, [2-methyl-4-[[[5-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

648437-34-7 HCAPLUS RN

Acetic acid, [2-methyl-4-[[[6-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-CN yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN

648437-35-8 HCAPLUS
Acetic acid, [2-methyl-4-[[[2-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-CN yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

648437-36-9 HCAPLUS RN

Acetic acid, [4-[[[4-fluoro-4'-(trifluoromethyl)[1,1'-biphenyl]-3-CN yl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

F3C
$$CH_2-S$$
 CH_2-CO_2H

RN 648437-37-0 HCAPLUS

CN Acetic acid, [4-[[[5-fluoro-4'-(trifluoromethyl)[1,1'-biphenyl]-3yl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

$$_{\rm F_3C}$$
 $_{\rm CH_2-S}$ $_{\rm CH_2-CO_2H}$

RN 648437-38-1 HCAPLUS

CN Acetic acid, [4-[[[6-fluoro-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 648437-39-2 HCAPLUS

CN Acetic acid, [4-[[[2-fluoro-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

$$F_3C$$
 F CH_2-S $O-CH_2-CO_2H$

RN 648437-40-5 HCAPLUS

CN Acetic acid, [4-[[[4-methoxy-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 648437-41-6 HCAPLUS

CN Acetic acid, [4-[[[6-methoxy-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 648437-42-7 HCAPLUS

CN Acetic acid, [4-[[[2-methoxy-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 648437-43-8 HCAPLUS

CN Acetic acid, [4-[[[4-ethoxy-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 648437-44-9 HCAPLUS

CN Acetic acid, [2-methyl-4-[[[4-(1-methylethoxy)-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 648437-45-0 HCAPLUS

CN Acetic acid, [4-[[[4-chloro-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 648437-46-1 HCAPLUS

CN Acetic acid, [4-[[[4,5-dimethoxy-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

$$CH_2-S$$

O— CH_2-CO_2H

OMe

RN 648437-47-2 HCAPLUS

CN Acetic acid, [4-[[[5,6-dimethoxy-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 648437-48-3 HCAPLUS

CN Acetic acid, [4-[[(2',4'-difluoro-4-methoxy[1,1'-biphenyl]-3-yl)methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

$$S-CH_2$$
 MeO
 F

648437-49-4 HCAPLUS RN

Acetic acid, [4-[[[4-hydroxy-4'-(trifluoromethyl)[1,1'-biphenyl]-3-CN yl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

648437-50-7 HCAPLUS RN

[1,1'-Biphenyl]-4-carboxylic acid, 3-[[[4-(carboxymethoxy)-3-CN methylphenyl]thio]methyl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN

648437-51-8 HCAPLUS Acetic acid, [4-[[[4-[(dimethylamino)carbonyl]-4'-(trifluoromethyl)[1,1'-CN biphenyl]-3-yl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

$$Me$$

$$O-CH_2-CO_2H$$

$$C-NMe_2$$

648437-52-9 HCAPLUS RN

Acetic acid, [4-[[4-(hydroxymethyl)-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)CN

$$_{\text{CH}_2-\text{OH}}^{\text{Me}}$$
 $_{\text{CH}_2-\text{OH}}^{\text{O-CH}_2-\text{CO}_2\text{H}}$

RN 648437-53-0 HCAPLUS

CN Acetic acid, [4-[[[2,4-difluoro-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

$$F_3C$$
 CH_2-S
 CH_2-S

RN 648437-54-1 HCAPLUS

CN Acetic acid, [4-[[[4-fluoro-2-methoxy-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

F₃C
$$CH_2-S$$
 CH_2-CO_2H

RN 648437-55-2 HCAPLUS

CN Acetic acid, [4-[[[4-fluoro-5-hydroxy-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

$$F3C$$
 CH_2-S
 $O-CH_2-CO_2H$
 $O-CH_2-CO_2H$

RN 648437-56-3 HCAPLUS

CN [1,1'-Biphenyl]-3-carboxylic acid, 5-[[[4-(carboxymethoxy)-3-methylphenyl]thio]methyl]-4-fluoro-4'-(trifluoromethyl)- (9CI) (CA INDEX

NAME)

$$_{\rm F3C}$$
 $_{\rm CH_2-S}$ $_{\rm CO_2H}$

RN 648437-57-4 HCAPLUS

CN Acetic acid, [4-[[4-fluoro-5-(hydroxymethyl)-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

$$_{\rm HO-CH_2}^{\rm Me}$$
 $_{\rm O-CH_2-CO_2H}^{\rm Me}$

RN 648437-58-5 HCAPLUS

CN Propanoic acid, 2-[4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 648437-59-6 HCAPLUS

CN Butanoic acid, 3-methyl-2-[4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 648437-60-9 HCAPLUS

CN Propanoic acid, 2-methyl-2-[4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 648437-61-0 HCAPLUS

CN Acetic acid, fluoro[4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 648437-63-2 HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 648437-64-3 HCAPLUS

CN Acetic acid, [2-ethyl-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 648437-65-4 HCAPLUS

CN Acetic acid, [2-(1-methylethyl)-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 648437-66-5 HCAPLUS

CN Acetic acid, [2-(1,1-dimethylethyl)-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 648437-67-6 HCAPLUS

CN Acetic acid, [2,3-dimethyl-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

$$Me$$
 $O-CH_2-CO_2H$ CH_2-S

RN 648437-68-7 HCAPLUS

CN Acetic acid, [2-fluoro-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 648437-69-8 HCAPLUS

CN Acetic acid, [2,6-difluoro-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

$$F_3C$$
 CH_2-S
 F
 F

RN 648437-70-1 HCAPLUS

CN Acetic acid, [2-chloro-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 648437-71-2 HCAPLUS

CN Acetic acid, [2-methoxy-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 648437-72-3 HCAPLUS

CN Acetic acid, [3-methyl-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 648437-73-4 HCAPLUS

CN Acetic acid, [3-fluoro-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

$$CH_2-S$$
 F_3C
 CH_2-CO_2H

RN 648437-74-5 HCAPLUS

CN Acetic acid, [2-bromo-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 648437-75-6 HCAPLUS

CN Acetic acid, [2-(trifluoromethyl)-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 648437-76-7 HCAPLUS

CN Acetic acid, [2,5-dimethyl-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 648437-77-8 HCAPLUS

CN Acetic acid, [2-(methoxymethyl)-4-[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 648437-78-9 HCAPLUS

CN Acetic acid, [2-(hydroxymethyl)-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 648437-79-0 HCAPLUS

CN Acetic acid, [2-cyano-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 648437-80-3 HCAPLUS

CN Benzoic acid, 2-(carboxymethoxy)-5-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]- (9CI) (CA INDEX NAME)

RN 648437-81-4 HCAPLUS

CN Acetic acid, [2-(aminocarbonyl)-4-[[[4'-(trifluoromethyl) [1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 648437-82-5 HCAPLUS

CN Acetic acid, [2-[(dimethylamino)carbonyl]-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 648437-83-6 HCAPLUS

CN Acetic acid, [2-ethenyl-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

$$H_2C = CH$$
 $O-CH_2-CO_2H$
 CH_2-S

RN 648437-84-7 HCAPLUS

CN Acetic acid, [2-(2-propenyl)-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

$$H_2C = CH - CH_2$$
 $O - CH_2 - CO_2H$
 $CH_2 - S$

RN 648437-85-8 HCAPLUS

CN Acetic acid, [3-ethyl-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 648437-86-9 HCAPLUS

CN Acetic acid, [3-bromo-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 648437-87-0 HCAPLUS

CN Acetic acid, [3-(trifluoromethyl)-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 648437-88-1 HCAPLUS

CN Benzoic acid, 5-(carboxymethoxy)-2-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]- (9CI) (CA INDEX NAME)

$$CH_2-S$$
 CO_2H

RN 648437-89-2 HCAPLUS

CN Acetic acid, [5-cyano-2-methyl-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

$$NC$$
 $O-CH_2-CO_2H$ CH_2-S Me

Updated Search

RN 648437-90-5 HCAPLUS

CN Acetic acid, [5-fluoro-2-methyl-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

$$\mathsf{CH}_2 - \mathsf{S} \\ \mathsf{Me}$$

RN 648437-91-6 HCAPLUS

CN Acetic acid, [2-chloro-6-methyl-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 648437-92-7 HCAPLUS

CN Acetic acid, [5-chloro-2-methyl-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{C1} & \text{O-CH}_2\text{-CO}_2\text{H} \\ \text{CH}_2\text{-S} & \text{Me} \end{array}$$

RN 648437-93-8 HCAPLUS

CN Acetic acid, [3-(hydroxymethyl)-2-methyl-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 648437-94-9 HCAPLUS

CN Acetic acid, [5-(hydroxymethyl)-2-methyl-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX'NAME)

RN 648437-95-0 HCAPLUS

CN Acetic acid, [5-(methoxymethyl)-2-methyl-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{MeO-CH}_2 \\ \text{CH}_2\text{-S} \end{array}$$

RN 648437-97-2 HCAPLUS

CN Benzoic acid, 2-(carboxymethoxy)-3-methyl-5-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]- (9CI) (CA INDEX NAME)

$$CO_2H$$
 CH_2-S
 CH_2-S
 Me

RN 648437-98-3 HCAPLUS

CN Benzoic acid, 3-(carboxymethoxy)-2-methyl-6-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]- (9CI) (CA INDEX NAME)

RN 648437-99-4 HCAPLUS

CN Benzoic acid, 5-(carboxymethoxy)-4-methyl-2-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]- (9CI) (CA INDEX NAME)

Updated Search

RN 648438-00-0 HCAPLUS

CN Acetic acid, [3-(aminocarbonyl)-2-methyl-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ \text{F}_{3}\text{C} & & & \\ & & & \\ & & & \\ \text{CH}_{2}\text{--}\text{S} & & \\ & & & \\ \end{array}$$

RN 648438-01-1 HCAPLUS

CN Acetic acid, [5-(aminocarbonyl)-2-methyl-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

$$H_2N-C$$
 $O-CH_2-CO_2H$
 CH_2-S
 Me

RN 648438-04-4 HCAPLUS

CN Acetic acid, [2-bromo-4-[[[4-fluoro-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 648438-05-5 HCAPLUS

CN Acetic acid, [2-methyl-4-[(4'-methyl[1,1'-biphenyl]-3-yl)methoxy]phenoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{O-CH}_2\text{-CO}_2\text{H} \\ \end{array}$$

RN 648438-07-7 HCAPLUS

CN Acetic acid, [2-methyl-4-[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 648438-08-8 HCAPLUS

CN Acetic acid, [4-[[3'-fluoro-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 648438-09-9 HCAPLUS

CN Acetic acid, [3-methyl-4-[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 648438-10-2 HCAPLUS

CN Propanoic acid, 2-[2-methyl-4-[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 648438-11-3 HCAPLUS

CN Butanoic acid, 3-methyl-2-[2-methyl-4-[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 648438-12-4 HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 648438-14-6 HCAPLUS

CN Acetic acid, [4-[[4-fluoro-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 648438-15-7 HCAPLUS

CN Acetic acid, [4-[[4-fluoro-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methoxy]-3-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 648438-44-2 HCAPLUS

CN Acetic acid, [4-[[[3-fluoro-6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

- 10518679

RN

648438-45-3 HCAPLUS Acetic acid, [2-methyl-4-[[6-[4-(trifluoromethyl)phenyl]-2-CN pyridinyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 648438-46-4 HCAPLUS

Acetic acid, [4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-CN yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 648438-47-5 HCAPLUS

Acetic acid, [2-methyl-4-[[[3'-(trifluoromethyl)[1,1'-biphenyl]-3-CN yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 648438-48-6 HCAPLUS

CN Acetic acid, [2-methyl-4-[[[2'-(trifluoromethyl)[1,1'-biphenyl]-3-

Updated Search

yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 648438-49-7 HCAPLUS

CN Acetic acid, [2-methyl-4-[[(4'-methyl[1,1'-biphenyl]-3-yl)methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 648438-50-0 HCAPLUS

CN Acetic acid, [2-methyl-4-[[(3'-methyl[1,1'-biphenyl]-3-yl)methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 648438-51-1 HCAPLUS

CN Acetic acid, [2-methyl-4-[[(2'-methyl[1,1'-biphenyl]-3-yl)methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 648438-52-2 HCAPLUS

CN Acetic acid, [4-[[(4'-fluoro[1,1'-biphenyl]-3-yl)methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 648438-53-3 HCAPLUS

CN Acetic acid, [4-[[(3'-fluoro[1,1'-biphenyl]-3-yl)methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 648438-54-4 HCAPLUS

CN Acetic acid, [4-[[(2'-fluoro[1,1'-biphenyl]-3-yl)methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 648438-61-3 HCAPLUS

CN Acetic acid, [4-[[(3',4'-dimethyl[1,1'-biphenyl]-3-yl)methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 648438-62-4 HCAPLUS

CN Acetic acid, [4-[[(2',4'-difluoro[1,1'-biphenyl]-3-yl)methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

$$S-CH_2$$
 HO_2C-CH_2-O
 Me

RN 648438-63-5 HCAPLUS

CN Acetic acid, [4-[[(3',4'-difluoro[1,1'-biphenyl]-3-yl)methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 648438-64-6 HCAPLUS

CN. Acetic acid, [4-[[(3',5'-difluoro[1,1'-biphenyl]-3-yl)methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 648438-65-7 HCAPLUS

CN Acetic acid, [4-[[(2',4'-dichloro[1,1'-biphenyl]-3-yl)methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 648438-66-8 HCAPLUS

CN Acetic acid, [4-[[(3',4'-dichloro[1,1'-biphenyl]-3-yl)methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 648438-67-9 HCAPLUS

CN Acetic acid, [4-[[(3',5'-dichloro[1,1'-biphenyl]-3-yl)methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 648438-68-0 HCAPLUS

CN Acetic acid, [4-[[[3'-fluoro-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{HO}_2\text{C}-\text{CH}_2-\text{O} \end{array}$$

RN 648438-75-9 HCAPLUS

CN Acetic acid, [4-[[(4'-chloro[1,1'-biphenyl]-3-yl)methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 648438-76-0 HCAPLUS

CN Acetic acid, [4-[[(4'-bromo[1,1'-biphenyl]-3-yl)methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 14 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN

4

ACCESSION NUMBER:

2003:818386 HCAPLUS

DOCUMENT NUMBER:

139:323345

TITLE:

Preparation of phenoxyacetic acids and

indanyloxyacetic acids that modulate PPAR activity Filzen, Gary Frederick; Trivedi, Bharat Kalidas;

Geyer, Andrew George; Unangst, Paul Charles; Bratton,

Larry Don; Auerbach, Bruce Jeffrey Warner-Lambert Company LLC, USA

PATENT ASSIGNEE(S):

PCT Int. Appl., 246 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

INVENTOR(S):

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2003084916 WO 2003084916	A2 20031016 A3 20031224	WO 2003-IB1121	20030324
W: AE, AG, AL CO, CR, CU GM, HR, HU LS, LT, LU PL, PT, RO UG, US, UZ RW: GH, GM, KE KG, KZ, MD	, AM, AT, AU, AZ, , CZ, DE, DK, DM, , ID, IL, IN, IS, , LV, MA, MD, MG, , RU, SD, SE, SG, , VN, YU, ZA, ZM, , LS, MW, MZ, SD, , RU, TJ, TM, AT,	BA, BB, BG, BR, BY, BZ, DZ, EC, EE, ES, FI, GB, JP, KE, KG, KP, KR, KZ, MK, MN, MW, MX, MZ, NO, SK, SL, TJ, TM, TN, TR, ZW SL, SZ, TZ, UG, ZM, ZW, BE, BG, CH, CY, CZ, DE,	GD, GE, GH, LC, LK, LR, NZ, OM, PH, TT, TZ, UA, AM, AZ, BY, DK, EE, ES,
BF, BJ, CF	, CG, CI, CM, GA, A1 20031204	LU, MC, NL, PT, RO, SE, GN, GQ, GW, ML, MR, NE, US 2003-347749	SN, TD, TG
CA 2481246 AU 2003212578 EP 1494989	AA 20031016 A1 20031020 A2 20050112	CA 2003-2481246 AU 2003-212578 EP 2003-708403	20030324 20030324
TE. ST. LT	. I.V. FT. RO. MK.	GB, GR, IT, LI, LU, NL, CY, AL, TR, BG, CZ, EE,	HU, SK
JP 3816922	B2 20060830		
CN 1649820 US 2005113440 US 6964983	A 20050803 A1 20050526 B2 20051115	CN 2003-809791 US 2004-979629	20030324 20041102
US 2005153996 US 6939875	A1 20050714 B2 20050906	US 2004-979617	
NO 2004004795 JP 2006151985	A 20041104 A2 20060615		

PRIORITY APPLN. INFO.:

US 2002-370508P P 20020405 US 2002-386026P P 20020605 US 2003-347749 A3 20030122 JP 2003-582115 A3 20030324 WO 2003-IB1121 W 20030324 US 2003-463641P P 20030417

OTHER SOURCE(S):

MARPAT 139:323345

GΙ

The title compds. [I; X0, X1 = 0, S, CH2, CH:CH, etc.; Ar1, Ar2 = (un)substituted (hetero)aryl, provided that Ar1 is not thiazolyl or oxazolyl; V1 is absent or V1 = (un)saturated (un)substituted hydrocarbon chain having 1-4 atoms; R1, R2 = H, alkyl, alkoxy, etc.; R3, R4 = H, alkyl, alkoxy, etc.; q, r = 0-6] that alter PPAR activity, were prepared and formulated. E.g., a 7-step synthesis of II (starting from 2-hydroxy-4-methoxybenzaldehyde) which showed EC50 of >0-300 nM against PPARα and PPARβ, was given. The invention also discloses pharmaceutically acceptable compns. comprising the compds. I or their salts, and methods of using them as therapeutic agents for treating or preventing hyperlipidemia, hypercholesteremia, obesity, eating disorders, hyperglycemia, atherosclerosis, hypertriglyceridemia, hyperinsulinemia and diabetes in a mammal as well as methods of suppressing appetite and modulating leptin levels in a mammal.

IT 613238-23-6P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of phenoxyacetic acids and indanyloxyacetic acids that modulate PPAR activity)

RN 613238-23-6 HCAPLUS

CN Acetic acid, [5-methoxy-2-methyl-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

$$MeO$$
 $O-CH_2-CO_2H$
 Me
 Me
 Me

IT 613238-22-5P 613238-24-7P 613238-25-8P 613238-26-9P 613238-27-0P 613238-28-1P 613238-29-2P 613238-38-3P 613238-39-4P 613238-40-7P 613238-41-8P 613238-42-9P 613238-44-1P 613238-57-6P 613238-58-7P 613238-68-9P 613238-69-0P 613238-70-3P 613238-71-4P 613239-19-3P RL: PAC (Pharmacological activity); SPN

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenoxyacetic acids and indanyloxyacetic acids that modulate PPAR activity)

RN 613238-22-5 HCAPLUS

CN Acetic acid, [2-methyl-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 613238-24-7 HCAPLUS

CN Acetic acid, [5-methoxy-2-methyl-4-[[(2',4',6'-trimethyl[1,1'-biphenyl]-4-yl)methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

$$HO_2C-CH_2-O$$
 Me
 $S-CH_2$
 Me
 Me
 Me
 Me
 Me
 Me

RN 613238-25-8 HCAPLUS

CN Acetic acid, [4-[[[4'-chloro-3'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

• 10518679

$$\begin{array}{c} \text{Me} \\ \text{HO}_2\text{C}-\text{CH}_2-\text{O} \\ \\ \text{S}-\text{CH}_2 \end{array}$$

RN 613238-26-9 HCAPLUS

CN Acetic acid, [4-[[(2',4'-dichloro[1,1'-biphenyl]-4-yl)methyl]thio]-5-methoxy-2-methylphenoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{MeO} & \text{O-CH}_2\text{-CO}_2\text{H} \\ \text{Cl} & \text{Me} \end{array}$$

RN 613238-27-0 HCAPLUS

CN Acetic acid, [4-[[(3',4'-dichloro[1,1'-biphenyl]-4-yl)methyl]thio]-5-methoxy-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 613238-28-1 HCAPLUS

CN Acetic acid, [5-methoxy-2-methyl-4-[[[3'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 613238-29-2 HCAPLUS

CN Acetic acid, [4-[[(4'-fluoro[1,1'-biphenyl]-4-yl)methyl]thio]-5-methoxy-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 613238-38-3 HCAPLUS

CN Acetic acid, [5-methoxy-2-methyl-4-[[[6-[4-(trifluoromethyl)phenyl]-3-pyridinyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

$$HO_2C-CH_2-O$$
 OMe $S-CH_2-N$ CF_3

RN 613238-39-4 HCAPLUS

CN Acetic acid, [5-chloro-2-methyl-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

$$C1$$
 $O-CH_2-CO_2H$ CH_2-S Me

RN 613238-40-7 HCAPLUS

CN Acetic acid, [3-methoxy-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 613238-41-8 HCAPLUS

CN Acetic acid, [2-methyl-4-[[2-[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]ethyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 613238-42-9 HCAPLUS

CN Acetic acid, [5-methoxy-2-methyl-4-[[2-[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]ethyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{MeO} \\ \text{O-CH}_2\text{-CO}_2\text{H} \\ \text{Me} \end{array}$$

RN 613238-44-1. HCAPLUS

CN Acetic acid, [2-methyl-4-[2-[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]ethyl]phenoxy]- (9CI) (CA INDEX NAME)

RN 613238-57-6 HCAPLUS

CN Acetic acid, [5-hydroxy-2-methyl-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{HO} \\ \text{O-CH}_2\text{-CO}_2\text{H} \\ \text{Me} \\ \end{array}$$

RN 613238-58-7 HCAPLUS

CN Acetic acid, [5-methoxy-2-methyl-4-[[[3-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{MeO} \\ \text{CH}_2\text{-}\text{S} \\ \text{Me} \\ \end{array}$$

RN 613238-68-9 HCAPLUS

CN Acetic acid, [5-methoxy-2-methyl-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{MeO} \\ \text{O-CH}_2\text{-CO}_2\text{H} \\ \text{Me} \end{array}$$

RN 613238-69-0 HCAPLUS

CN Acetic acid, [5-methoxy-2-methyl-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]sulfonyl]phenoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{OMe} \\ & \text{O} \\ & \text{CH}_2 - \text{CO}_2\text{H} \\ \end{array}$$

RN 613238-70-3 HCAPLUS

CN Acetic acid, [5-methoxy-2-methyl-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]sulfinyl]phenoxy]- (9CI) (CA INDEX NAME)

$$CH_2-S$$
 MeO
 $O-CH_2-CO_2H$

RN 613238-71-4 HCAPLUS

CN Acetic acid, [2-propyl-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 613239-19-3 HCAPLUS
CN Acetic acid, [2-butyl-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

L7 ANSWER 15 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2003:173582 HCAPLUS

DOCUMENT NUMBER:

138:221586

TITLE:

INVENTOR(S):

Preparation of azoles as oral antidiabetic agents.

Bigge, Christopher Franklin; Bridges, Alesander James;

Casimira-Cargia, Augustin: Fakhoury, Stephen Alan:

Casimiro-Garcia, Augustin; Fakhoury, Stephen Alan; Lee, Helen Tsenwhei; Reed, Jessica Elizabeth; Schaum, Robert Philipp; Schlosser, Kevin Matthew; Sexton,

Karen Elaine; Zhou, Hairong

PATENT ASSIGNEE(S):

SOURCE:

Warner Lambert Co., USA PCT Int. Appl., 333 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	TENT	NO.			KINI)	DATE			APPL:			NO.			ATE	
	2003							0306	6 WO 2002-IB2843				20020715				
WO	2003				C1		2004										
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
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										SK,							
					UZ,												
	RW:									SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
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CA	2458									CA 20					2	0020	715
EΡ	1423	363			A1		2004	0602		EP 20	002-	7457	39		2	0020	715
EΡ	1423	363															
	R:	AT.	BE,	CH.	DE.	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,

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IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
                                                                    20020715
                                             BR 2002-12069
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                          Α
     EE 200400075
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                                             HU 2004-1620
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                                20041129
    HU 200401620
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                                             CN 2002-821635
                                20041229
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     CN 1558897
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                                                                    20020715
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                                20050217
     JP 2005504778
                                             EP 2005-104581
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                          A1
                                20050921
     EP 1577305
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
                                                                     20020715
                                 20060515
                                             AT 2002-745739
                          Ε
     AT 323674
                                             US 2002-225716
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                          A1
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     US 2003171377
                                             ZA 2004-374
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                          Α
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                                                                     20040224
                          Α
                                 20050331
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     NO 2004000881
                                                                 Ρ
                                             US 2001-315728P
                                                                    20010829
PRIORITY APPLN. INFO.:
                                             US 2001-322123P
                                                                 Ρ
                                                                    20010914
                                             US 2002-369788P
                                                                 Ρ
                                                                     20020403
                                             EP 2002-745739
                                                                 A3 20020715
                                                                    20020715
                                             WO 2002-IB2843
                                                                 W
                         MARPAT 138:221586
OTHER SOURCE(S):
     AXQYC(B)(D)ZE[A = (substituted) (fused) aryl, heteroaryl, cycloalkyl,
     heterocycloalkyl; X = CH2O, CH2CH2O, (CH2)3, CH2C.tplbond.C, CH2CH:CH; Q =
     (substituted) (fused) aryl, heteroaryl; Y, Z = null, (CR1R2)n, (CR3R4)m;
     R1-R4 = H, halo, alkyl, OH, alkoxy; m, n = 1-3; B = H, halo, alkyl,
     haloalkyl, alkoxy; D = H, (substituted) arylamino, alkanoyl, PhCO, aryl,
     heteroaryl, cycloalkyl, heterocycloalkyl; E = COR5; R5 = alkyl, OH,
     alkoxy, amino, sulfonylamino, substituted heteroaryl, dioxothiazolyl,
     etc.; with provisos], were prepared Thus, (S)-tyrosine Me ester,
     2,5-dimethoxytetrahydrofuran, and NaOAc were heated in aqueous HOAc at
     100° for 20 min. to give 35% pyrrolotyrosine Me ester. This was
     stirred with 2-(5-methyl-2-phenyloxazol-4-yl)ethanol, Ph3P, and di-Et
     azodicarboxylate in THF for 18 h to give 51% Me (S)-3-[4-[2-(5-methyl-2-
     phenyloxazol-4-yl)ethoxy]phenyl]-2-pyrrol-1-ylpropionate. The latter was
     stirred with LiOH in THF/H2O to give 51\% (S)-3-[4-[2-(5-methyl-2-
     phenyloxazol-4-yl)ethoxy]phenyl]-2-pyrrol-1-ylpropionic acid. In a 3T3-L1
     adipocyte differentiation assay, title compds. at 5 \mu M showed 2-183% of
     the activity of BRL 49653 pos. control. A drug formulation is given.
     501027-86-7P 501027-87-8P 501027-94-7P
ΤТ
     501027-95-8P 501027-98-1P 501027-99-2P
     501028-00-8P 501028-01-9P 501028-02-0P
     501028-03-1P 501028-04-2P 501028-05-3P
     501028-06-4P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (claimed compound; preparation of azoles as oral antidiabetic agents)
RN
     501027-86-7 HCAPLUS
     1H-Pyrrole-1-acetic acid, \alpha-[[4-[[4'-(trifluoromethyl)[1,1'-
CN
     biphenyl]-4-yl]methoxy]phenyl]methyl]-, (\alpha S)- (9CI) (CA INDEX NAME)
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Absolute stereochemistry.

RN 501027-87-8 HCAPLUS 1H-Pyrrole-1-acetic acid, α -[[4-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methoxy]phenyl]methyl]-, (α R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501027-94-7 HCAPLUS 'CN 1H-Pyrrole-1-acetic acid, α -methyl- α -[[4-[[4'- (trifluoromethyl)[1,1'-biphenyl]-4-yl]methoxy]phenyl]methyl]-, (α R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501027-95-8 HCAPLUS CN 1H-Pyrrole-1-acetic acid, α -methyl- α -[[4-[[4'- (trifluoromethyl)[1,1'-biphenyl]-4-yl]methoxy]phenyl]methyl]-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

RN 501027-98-1 HCAPLUS CN 1H-Pyrrole-1-acetic acid, 3-bromo- α -[[4-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methoxy]phenyl]methyl]-, (α R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501027-99-2 HCAPLUS CN 1H-Pyrrole-1-acetic acid, α -(trifluoromethyl)- α -[[4-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methoxy]phenyl]methyl]-, (α S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501028-00-8 HCAPLUS CN 1H-Pyrrole-1-acetic acid, α -(trifluoromethyl)- α -[[4-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methoxy]phenyl]methyl]-, (α R)-(9CI) (CA INDEX NAME) Absolute stereochemistry.

RN 501028-01-9 HCAPLUS CN 1H-Pyrrole-1-acetic acid, α -ethyl- α -[[4-[[4'- (trifluoromethyl)[1,1'-biphenyl]-4-yl]methoxy]phenyl]methyl]-, (α R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501028-02-0 HCAPLUS CN 1H-Pyrrole-1-acetic acid, α -ethyl- α -[[4-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methoxy]phenyl]methyl]-, (α S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501028-03-1 HCAPLUS

CN 1H-Pyrrole-1-acetic acid, α -propyl- α -[[4-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methoxy]phenyl]methyl]-, (α R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501028-04-2 HCAPLUS CN 1H-Pyrrole-1-acetic acid, α -propyl- α -[[4-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methoxy]phenyl]methyl]-, (α S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501028-05-3 HCAPLUS CN 1H-Pyrrole-1-acetic acid, α -(fluoromethyl)- α -[[4-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methoxy]phenyl]methyl]-, (α R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501028-06-4 HCAPLUS

CN 1H-Pyrrole-1-acetic acid, α -(fluoromethyl)- α -[[4-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methoxy]phenyl]methyl]-, (α S)-

(9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 16 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN

6

ACCESSION NUMBER:

2003:154382 HCAPLUS

DOCUMENT NUMBER:

138:187795

TITLE:

Preparation of aryl or heterocyclyl-substituted

benzoic acid and alkanoic acid derivatives as antagonists of prostaglandin E2 (PEG2) receptors

INVENTOR(S):

Tani, Kousuke; Asada, Masaki; Kobayashi, Kaoru;

Narita, Masami; Ogawa, Mikio

PATENT ASSIGNEE(S):

Ono Pharmaceutical Co., Ltd., Japan

SOURCE:

PCT Int. Appl., 1009 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2003016254	A1 20030227	WO 2002-JP8120	20020808
W: AE, AG, AL,	AM, AT, AU, AZ, BA	, BB, BG, BR, BY, BZ,	CA, CH, CN,

GΙ

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CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
                                     SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
              PT, RO, RU, SD, SE,
                                     YU, ZA, ZM, ZW
              UG, US, UZ, VC, VN,
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                                                   EP 2002-755874
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                                     20040824
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                                                   CN 2002-817376
                                                                              20020808
                              Α
                                     20041201
                                     20050128
                                                   HU 2004-1963
                                                                              20020808
                              A2
     HU 200401963
                                                   NZ 2002-531153
                                                                              20020808
                              Α
                                     20051028
     NZ 531153
                                     20050104
                                                   ZA 2004-973
                                                                              20040205
                              Α
     ZA 2004000973
                              Α
                                     20040510
                                                   NO 2004-564
                                                                              20040206
     NO 2004000564
                                                   US 2004-486220
                                                                              20040909
     US 2006258728
                              A1
                                     20061116
                                                   JP 2001-241867
                                                                              20010809
PRIORITY APPLN. INFO.:
                                                   WO 2002-JP8120
                                                                          W
                                                                              20020808
                            MARPAT 138:187795
OTHER SOURCE(S):
```

$$(R^2)_{m}$$
 B
 $D-R^3$
 $D-R^3$

Carboxylic acid derivs. (I) and nontoxic salts thereof [wherein R1 = CO2H, AB CO2R4, CH2OH, COR5SO2R6, CONH2, CH2NR5SO2R6, CH2NR9COR10, CH2NR9CONR5SO2R6, CH2SO2NR9COR10, CH2O2CNR5SO2R6, tetrazole, 1,2,4-oxadiazol-5-one, 1,2,4-oxadiazol-5-thione, 1,2,4-thiadiazol-5-one, etc. (wherein R4 = C1-6 alkyl, hydroxy-C1-4 alkyl, C1-4 alkoxy-C1-4 alkyl, carboxy-C1-4 alkyl, etc.; R5, R9 = H, C1-6 alkyl; R6 = C1-6 alkyl, C3-15 mono-, di-, or tricarbocyclic, 3- to 13-membered mono-, di-, or tricyclic heterocyclyl, etc.; R10 = H, R6); A = a single bond, C1-6 alkylene, C2-6 alkenylene, C2-6 alkynylene, etc.; the ring B = C3-12 mono- or dicyclic carbocyclic ring, 3- to 12-membered mono- or dicyclic heterocyclic ring; R2 = C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, C2-6 alkenyl, C2-6 alkynyl, halo, CHF2, CF3, NO2, cyano, Ph, oxo; m, n = 0,1,2; Q = (C1-4 alkylene,C2-4 alkenylene, or C2-4 alkynylene)-Cyc2, -C1-4 alkylene-Z-Cyc3, amino-C1-4 alkyl, cyano-C1-4 alkyl, acylamino-C1-4 alkyl, 3- to 7-membered monocyclic carbocyclyl, 3- to 6-membered monocyclic heterocyclyl, etc. (wherein Cyc2, Cyc3 = C3-15 mono-, di-, or tricyclic carbocyclyl or heterocyclyl, etc.; Z=0, S, SO, SO2, NH, NHCO, etc.); D= an linking chain consisting of 1-2 or 3-6 of atoms selected from C, N, O, or S, etc.; R3 = C1-6 alkyl, C3-15 mono-, di-, or tricyclic carbocyclyl, 3- to 15-membered mono-, di-, or tricyclic heterocyclyl, etc.] are prepared These carboxylic acid derivs. include phenylpropanoic acid, phenylpropenoic acid, phenylpropanamide, phenylpropenamide, 3-oxoisoindolin-1-ylacetic acid, benzylbenzoic acid, benzylaminoacetic acid, pyrazolylmethylbenzoic acid, benzoylaminoacetic acid, (pyrazolylmethylphenyl)propenoic acid, pyrazolylmethylpropanoic acid, (pyridinyloxyphenyl)propanoic acid,

```
phenoxyacetic acid, phenylbutanoic acid, (pyrazolylmethyl)propanamide,
(piperazinylmethylphenyl)propanamide, (morpholinylmethylphenyl)propanamide
  (pyridinyloxyphenyl)propanamide, (pyrazolylmethyl)propenamide
(oxoimidazolidinylmethylphenyl)propanamide, (oxopyrrolidinylmethylphenyl)p
ropenamide, (thiophenylmethylphenyl)propenamide,
(pyrazolyl methyl phenylamino) a cetamide, \ (thiazolylaminomethyl phenyl) propana
mide, thiophenylpropenamide, (pyrazolylmethylphenoxy) acetamide,
(phenoxymethyl)benzamide, (pyrazolylmethylphenylethyl)-1,2,4-oxadiazol-5-
one, and (pyrazolylmethylphenylindolyl)acetic acid. Because of binding to
PEG2 receptors, in particular, subtype EP3 and/or subtype EP4 and having
antagonism, the compds. I are useful in preventing and/or treating
diseases such as pain, allodynia, hyperalgesia, pruritus (itching),
urticaria, atopic dermatitis, contact dermatitis, Urushi (Japanese lacquer
tree) dermatitis, allergic conjunctivitis, symptoms during dialysis,
asthma, rhinitis, allergic rhinitis, nasal congestion, sneeze, psoriasis,
pollakiuria (increased urinary frequency), urination disorder, ejaculation
(semination) disorder, fever (pyrexia), systemic inflammation reaction,
learning disorder, Alzheimer's disease, neovascularization, cancer
formation, cancer proliferation, cancer metastasis to organs, cancer
metastasis to bone, hypercalcemia accompanied by cancer metastasis to
bone, retinopathy, rubrum, erythema (rash), leucoma, skin moth-patch, heat
burn, burn, steroid burn, kidney failure, nephropathy, acute or chronic
nephritis, blood electrolyte disorder, imminent abortion, threatened
abortion, excessive menstruation, dysmenorrhea, endometriosis,
premenstrual syndrome, uterine gland myopathy, reproduction disorder, and
stress. They are also useful in preventing and/or treating anxiety,
depression, psychophysiol. disorder, mental retardation, thrombus,
embolism, transient ischemic attack, cerebral infarction, atheroma, organ
transplant, heart failure, hypertension, myocardial infarction,
arteriosclerosis, circulation disorders or ulcers associated therewith, nerve
disorders, vascular dementia, edema, diarrhea, constipation, biliary
excretion disorder, ulcerative colitis, Crohn's disease, irritable bowel
syndrome, reduction of rebound after using steroid drugs, aids for decreasing
or removing steroid drugs, bone diseases, systemic granuloma, immune
diseases, pyorrhea alveolaris, gingivitis, periodontal disease, nerve cell
death, lung disorder, liver disorder, acute hepatitis, myocardial
ischemia, Kawasaki disease, multiple organ failure, chronic headache,
angiitis, venous failure, varicose vein (varicosis), anal fistula,
diabetes insipidus, neonatal patent ductus arteriosus, and cholelithiasis.
Thus, 4-hydroxymethyl-2-[2-(naphthalen-2-yl)ethoxy]cinnamic acid Et ester
was mesylated by methanesulfonyl chloride in the presence of Et3N in THF
at 0° for 15 min and condensed with pyrazole in the presence of NaH
in DMF at 0° to give 2-[2-(naphthalen-2-yl)ethoxy]-4-(1-
pyrazolylmethyl)cinnamic acid Et ester. 4-[2-[[2-(Naphthalen-1-
yl)propanoyl]amino]-4-methylthiomethylphenyl]butanoic acid inhibited the
binding of [3H]PGE2 to prostaglandin E2 (PEG2) receptor subtype EP1, Ep2,
EP3, and EP4 expressed in CHO cells with Ki of >10, >10, 0.27, and 0.038
μM, resp. A tablet formulation containing (2E)-2-[2-(naphthalen-2-
yl)ethoxy]-4-(1-pyrazolylmethyl)cinnamic acid was described.
499156-23-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (preparation of aryl or heterocyclyl-substituted benzoic acid and alkanoic
   acid derivs. as antagonists of prostaglandin E2 (PEG2) receptors as
   therapeutic agents)
499156-23-9 HCAPLUS
Benzenepropanoic acid, 2-[[[1-(3,5-dimethylphenyl)-3-
methylbutyl]amino]carbonyl]-4-[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-
```

IΤ

RN

CN

yl]methoxy]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 17 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1998:324838 HCAPLUS

DOCUMENT NUMBER:

129:27815

TITLE:

Preparation of 2-disubstituted cyclohexenyl and

cyclohexyl compounds as antimicrobial agents

INVENTOR(S):

Chen, Robert H.; Urbanski, Maud; Xiang, Min; Barrett,

John Francis

PATENT ASSIGNEE(S):

Ortho Pharmaceutical Corp., USA

SOURCE:

U.S., 23 pp. CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

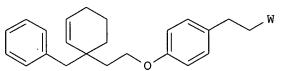
English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
				- '	
US 5753715	Α	19980519	US 1995-459447		19950602
ZA 9604511	Α	19971201	ZA 1996-4511		19960531
PRIORITY APPLN. INFO.:			US 1995-459447	Α	19950602
OTHER SOURCE(S):	CASREA	CT 129:27815	; MARPAT 129:27815		
CT			,		

$$R^{1} (CH_{2})_{n} - X - (CH_{2})_{q}R^{2}$$
 I



The title compds. [I; R1 = (un)branched C1-6 alkyl, C1-6 hydroxyalkyl, etc.; R2 = Ph, heterocyclic moiety, etc.; n = 1-6; q = 0-2; X = NH, O, S] are prepared I are useful antimicrobial agents. Thus, compound (II; W = $\frac{1}{2}$)

II

IT

OSO2Me) (preparation given) was reacted with 1-(2-aminoethyl)pyrrolidine in EtOH and then treated with oxalic acid to give the title compound II (W = NHCH2CH2Y, Y = 1-pyrrolidyl) as an oxalate salt, which showed inhibition of autophosphorylation of kinase A and the transphosphorylation of ApoOF. 201021-62-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-disubstituted cyclohexenyl and cyclohexyl compds. as

antimicrobial agents)

RN 201021-62-7 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[1-(4-fluorophenyl)-2-cyclohexen-1-yl]ethoxy]-, compd. with cyclohexanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 201021-61-6 CMF C23 H25 F O3

CM 2

CRN 108-91-8 CMF C6 H13 N

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 18 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1998:28741 HCAPLUS

DOCUMENT NUMBER:

128:88665

TITLE:

Preparation of 4-(cyclohexenylethoxy)benzenealkanamine

s and analogs as bactericides

INVENTOR(S):

Chen, Robert H.; Urbanski, Maud; Xiang, Min; Barrett,

John F.

PATENT ASSIGNEE(S):

Ortho Pharmaceutical Corp., USA

SOURCE:

PCT Int. Appl., 64 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.		KIND	DATE		i	APPL	APPLICATION NO.			Di	DATE			
WO 9748675	 A1	1997	1224	,	WO 19	 996-t	JS10:	 357		1:	9960	518	_	
W: AL,	AM, AT,	AU,	AZ, BB,	BG,	BR,	BY,	CA,	CH,	CN,	CZ,	DE,	DK,	EE,	•
ES.	FI, GB,	GE,	HU, IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LK,	LR,	LS,	LT,	
LU,	LV. MD.	MG.	MK, MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	
SG,	SI, SK,	TJ,	TM, TR,	TT,	UA,	ŪG,	UΖ,	VN						
RW: AT,	BE, CH,	DE,	DK, ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE
AU 9662801	, ,	Αĺ	1998	0107		AU 19	996-	6280	l		1	9960	618	
PRIORITY APPLN. I						WO 19				Ţ	W 1	9960	618	
OTHER SOURCE(S):		MARP	AT 128:	8866	5									
GT														

$$\begin{array}{c|c} & & & \\ \hline \\ Ph & & \\ \hline \\ O & & \\ \hline \\ H & \\ \end{array} \begin{array}{c} N \\ \\ II \end{array}$$

AB R1Z1(CH2)nX(CH2)qR2 [I; R1 = (hydroxy)alkyl, (un)substituted phenyl(alkyl); R2 = substituted Ph or -heterocyclyl; X = O, S, NH; Z1 = e.g., 2-cyclohexen-1-ylidene; n = 1-6; q = 0-2], histidine protein kinase inhibitors, were prepared Thus, 3-ethoxy-2-cyclohexenone was condensed with PhCH2MgCl and the reduced product etherified by PhCH2SOCH:CH2 to give PhCH2ZCH2CH2SOPh which was refluxed in decalin contg, Na2CO3 to give PhCH2Z1CH2CHO (Z1 = 2-cyclohexen-1-ylidene) which was converted in 5 steps to title compound II. Data for biol. activity of I were given.

201021-62-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 4-(cyclohexenylethoxy)benzenealkanamines and analogs as

(preparation of 4-(cyclohexenylethoxy)benzenealkanamines and analogs as bactericides)

RN 201021-62-7 HCAPLUS

CN Benzenepropanoic acid, 4-[2-[1-(4-fluorophenyl)-2-cyclohexen-1-yl]ethoxy]-, compd. with cyclohexanamine (1:1) (9CI) (CA INDEX NAME)

CM_. 1

CRN 201021-61-6 CMF C23 H25 F O3

CM 2

CRN 108-91-8 CMF C6 H13 N



L7 ANSWER 19 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1994:592066 HCAPLUS

DOCUMENT NUMBER:

121:192066

TITLE:

the influence of the liquid crystalline core geometry

on the mesogenicity of novel chiral

2-(4-substituted-phenoxy) propanonitriles

AUTHOR(S):

Booth, Christopher J.; Goodby, John W.; Hardy, Judith

P.; Lettington, Olwen C.; Toyne, Kenneth J.

CORPORATE SOURCE: SOURCE:

DOCUMENT TYPE:

Sch. Chem., Univ. Hull, Hull, Hu6 7RX, UK

Liquid Crystals (1994), 16(6), 925-40 CODEN: LICRE6; ISSN: 0267-8292

Journal

LANGUAGE:

English

The synthesis and characterization of 7 novel (R)-2-(4-substituted-phenoxy) propanonitriles are described. The propanonitriles were prepared to evaluate their potential use as thermochromics and ferroelec. dopants, as well as to determine their twist sense properties. The materials exhibit smectic and chiral nematic phases of high thermal stability; the mesogenic behavior of the nitriles is directly related to the type of 2-ring core unit employed. The effects of the different mol. geometries and polarizabilities of the liquid crystalline cores on mesophase stability are discussed, particularly in relation to other members of this series. The chiral nematic phase of the propanonitriles is assigned as having a left-handed twist sense from contact preparation studies, and this is in agreement with rules absolute configuration and mol. structure to helical twist sense.

IT 157788-48-2P 157788-49-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and nitrification of)

RN 157788-48-2 HCAPLUS

CN [1,1'-Biphenyl]-4-carboxylic acid, 3'-fluoro-4'-pentyl-,

4-(1-carboxyethoxy)phenyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 157788-49-3 HCAPLUS
CN [1,1'-Biphenyl]-4-carboxylic acid, 2'-fluoro-4'-pentyl-,

4-(1-carboxyethoxy)phenyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

=> file caold COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 112.32 285.63 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL **ENTRY** SESSION CA SUBSCRIBER PRICE -15.00-15.00

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FILE COVERS 1907-1966 FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent

assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> d his

L1

(FILE 'HOME' ENTERED AT 01:16:31 ON 27 NOV 2006)

FILE 'REGISTRY' ENTERED AT 01:16:38 ON 27 NOV 2006 STRUCTURE UPLOADED 0 S L1

L2 0 S L1 L3 403 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 01:25:22 ON 27 NOV 2006

L420 S L3 O S L4 AND STEVENAGE, R?/AU L5 1 S L4 AND BESWICK, P?/AU L6 19 S L4 NOT L6 L7 0 S L7 AND GOSMINI, R?/AU L8 0 S L7 AND GRIMES, R?/AU L9 0 S L7 AND HAMLET, C?/AU L10 O S L7 AND HAMLETT, C?/AU L11 0 S L7 AND KING, N?/AU L12 O S L7 AND PATEL, V?/AU. L13 O S L7 AND BELL, R?/AU L14

FILE 'CAOLD' ENTERED AT 01:27:56 ON 27 NOV 2006

=> s 13 L15 0 L3

=> d his

(FILE 'HOME' ENTERED AT 01:16:31 ON 27 NOV 2006)

FILE 'REGISTRY' ENTERED AT 01:16:38 ON 27 NOV 2006
L1 STRUCTURE UPLOADED
L2 0 S L1
L3 403 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 01:25:22 ON 27 NOV 2006

L420 S L3 L5 O S L4 AND STEVENAGE, R?/AU 1 S L4 AND BESWICK, P?/AU L6 19 S L4 NOT L6 1.7 0 S L7 AND GOSMINI, R?/AU 1.8 L9 0 S L7 AND GRIMES, R?/AU L10 O S L7 AND HAMLET, C?/AU 0 S L7 AND HAMLETT, C?/AU L11 L12 0 S L7 AND KING, N?/AU O S L7 AND PATEL, V?/AU L13 L14 0 S L7 AND BELL, R?/AU

FILE 'CAOLD' ENTERED AT 01:27:56 ON 27 NOV 2006 L15 0 S L3

=> file hcaplus
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST

0.44 286.07

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL ENTRY SESSION

CA SUBSCRIBER PRICE

0.00 -15.00

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FILE COVERS 1907 - 27 Nov 2006 VOL 145 ISS 23 FILE LAST UPDATED: 26 Nov 2006 (20061126/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13/thu

20 L3

833110 THU/RL

L16

18 L3/THU

(L3 (L) THU/RL)

=> d 116 not 14

L4 IS NOT VALID HERE

For an explanation, enter "HELP DISPLAY".

=> d his

L4

(FILE 'HOME' ENTERED AT 01:16:31 ON 27 NOV 2006)

FILE 'REGISTRY' ENTERED AT 01:16:38 ON 27 NOV 2006

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 403 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 01:25:22 ON 27 NOV 2006

20 S L3

L5 0 S L4 AND STEVENAGE, R?/AU

```
1 S L4 AND BESWICK, P?/AU
L6
             19 S L4 NOT L6
L7
              0 S L7 AND GOSMINI, R?/AU
L8
             0 S L7 AND GRIMES, R?/AU
L9
             0 S L7 AND HAMLET, C?/AU
L10
             0 S L7 AND HAMLETT, C?/AU
L11
              0 S L7 AND KING, N?/AU
L12
L13
              O S L7 AND PATEL, V?/AU
              O S L7 AND BELL, R?/AU
L14
     FILE 'CAOLD' ENTERED AT 01:27:56 ON 27 NOV 2006
              0 S L3
L15
     FILE 'HCAPLUS' ENTERED AT 01:28:08 ON 27 NOV 2006
L16
             18 S L3/THU
=> s 14 not 116
             2 L4 NOT L16
L17
=> d 117, ibib abs hitstr, 1-2
L17 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN
                         2004:1019618 HCAPLUS
ACCESSION NUMBER:
                         142:69141
DOCUMENT NUMBER:
                         Methods of identifying non-specific inhibitors of
TITLE:
                         biomolecules
                         Shoichet, Brian K.; McGovern, Susan L.
INVENTOR(S):
                         Northwestern University, USA
PATENT ASSIGNEE(S):
                         U.S. Pat. Appl. Publ., 16 pp.
SOURCE:
                         CODEN: USXXCO
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                         English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                            APPLICATION NO.
                                                                   DATE
     PATENT NO.
                         KIND
                                DATE
                                20041125
     US 2004234942
                         A1
                                            US 2002-171814
                                                                   20020614
     US 6887658
                          В2
                                20050503
PRIORITY APPLN. INFO.:
                                            US 2001-298527P
                                                                P 20010615
     The invention provides methods of identifying compds. that
     non-specifically inhibit biol. reactions. The invention further includes
     kits that facilitate this identification. In addition, compilations of
     compds. for use in high throughput drug screening that have been evaluated
     by the disclosed methodol. are also part of the d invention. The
     invention provides methods for identifying a false pos. in a screening
     assay by measuring the activity of at least one biol. activity in the
     presence and absence of a small mol. compound capable of inhibiting
     aggregate formation, e.g., digitonin.
IT
     813420-84-7
     RL: BSU (Biological study, unclassified); PRP (Properties); BIOL
     (Biological study)
        (methods of identifying non-specific inhibitors of biomols.)
RN
     813420-84-7 HCAPLUS
     4-Pyridinecarboxylic acid, 2,6-bis(4-chlorophenyl)-, 4-(1-carboxy-3-
CN
     methylbutoxy)phenyl ester (9CI) (CA INDEX NAME)
```

$$\begin{array}{c} \text{CO}_2\text{H} \\ \text{i-Bu-CH-O} \\ \text{O} \\ \text{C} \\ \text{O} \\ \text{C} \\ \text$$

REFERENCE COUNT:

12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1994:592066 HCAPLUS

DOCUMENT NUMBER:

121:192066

TITLE:

the influence of the liquid crystalline core geometry

on the mesogenicity of novel chiral

2-(4-substituted-phenoxy)propanonitriles

AUTHOR(S):

Booth, Christopher J.; Goodby, John W.; Hardy, Judith

P.; Lettington, Olwen C.; Toyne, Kenneth J. Sch. Chem., Univ. Hull, Hull, HU6 7RX, UK

CORPORATE SOURCE: SOURCE:

Liquid Crystals (1994), 16(6), 925-40

CODEN: LICRE6; ISSN: 0267-8292

Journal English

DOCUMENT TYPE: LANGUAGE:

The synthesis and characterization of 7 novel (R)-2-(4-substituted-phenoxy) propanonitriles are described. The propanonitriles were prepared to evaluate their potential use as thermochromics and ferroelec. dopants, as well as to determine their twist sense properties. The materials exhibit smectic and chiral nematic phases of high thermal stability; the mesogenic behavior of the nitriles is directly related to the type of 2-ring core unit employed. The effects of the different mol. geometries and polarizabilities of the liquid crystalline cores on mesophase stability are discussed, particularly in relation to other members of this series. The chiral nematic phase of the propanonitriles is assigned as having a

left-handed twist sense from contact preparation studies, and this is in agreement with rules absolute configuration and mol. structure to helical twist sense.

IT 157788-48-2P 157788-49-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and nitrification of)

RN 157788-48-2 HCAPLUS

CN [1,1'-Biphenyl]-4-carboxylic acid, 3'-fluoro-4'-pentyl-, 4-(1-carboxyethoxy)phenyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

. 10518679

RN 157788-49-3 HCAPLUS
CN [1,1'-Biphenyl]-4-carboxylic acid, 2'-fluoro-4'-pentyl-,
4-(1-carboxyethoxy)phenyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	15.28	301.35
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-1.50	-16.50

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Property values tagged with IC are from the ${\tt ZIC/VINITI}$ data file provided by InfoChem.

STRUCTURE FILE UPDATES: 24 NOV 2006 HIGHEST RN 913944-64-6 DICTIONARY FILE UPDATES: 24 NOV 2006 HIGHEST RN 913944-64-6

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TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=> Uploading C:\Documents and Settings\brobinson1\My Documents\stnweb\Queries\dfdfkl.str

L18 STRUCTURE UPLOADED

=> s 118SAMPLE SEARCH INITIATED 01:30:31 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED -44386 TO ITERATE

2000 ITERATIONS 4.5% PROCESSED INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE

COMPLETE **COMPLETE** BATCH 875143 TO PROJECTED ITERATIONS: 900297 0 TO PROJECTED ANSWERS:

O SEA SSS SAM L18 T.19

=> s 118 full THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 166.50 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y) /N or END:y FULL SEARCH INITIATED 01:30:37 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED -888904 TO ITERATE

98.8% PROCESSED 878521 ITERATIONS 3 ANSWERS

100.0% PROCESSED 888904 ITERATIONS SEARCH TIME: 00.00.25

3 ANSWERS

3 SEA SSS FUL L18 L20

=> d his

(FILE 'HOME' ENTERED AT 01:16:31 ON 27 NOV 2006)

FILE 'REGISTRY' ENTERED AT 01:16:38 ON 27 NOV 2006

STRUCTURE UPLOADED L1

L2 0 S L1

L3403 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 01:25:22 ON 27 NOV 2006

Updated Search

L4 20 S L3 L5 0 S L4 AND STEVENAGE, R?/AU L6 1 S L4 AND BESWICK, P?/AU L7 19 S L4 NOT L6 L8 0 S L7 AND GOSMINI, R?/AU L9 0 S L7 AND GRIMES, R?/AU L10 0 S L7 AND HAMLET, C?/AU L11 0 S L7 AND HAMLETT, C?/AU L12 0 S L7 AND KING, N?/AU L13 0 S L7 AND PATEL, V?/AU L14 0 S L7 AND BELL, R?/AU	
FILE 'CAOLD' ENTERED AT 01:27:56 ON 27 NOV 2006 L15 0 S L3	
FILE 'HCAPLUS' ENTERED AT 01:28:08 ON 27 NOV 2006 L16	
FILE 'REGISTRY' ENTERED AT 01:29:07 ON 27 NOV 2006 L18	
=> s 120 not 13 L21 3 L20 NOT L3	
=> file hcaplus COST IN U.S. DOLLARS SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST 167.82	469.17
	TOTAL SESSION -16.50

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FILE COVERS 1907 - 27 Nov 2006 VOL 145 ISS 23 FILE LAST UPDATED: 26 Nov 2006 (20061126/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> s 121
L22
             2 L21
=> s 122 and bell, r?/au
          2752 BELL, R?/AU
             O L22 AND BELL, R?/AU
L23
=> s 122 and beswick, p?/au
            57 BESWICK, P?/AU
             O L22 AND BESWICK, P?/AU
L24
\Rightarrow d 122, ibib abs hitstr, 1-2
L22 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN
                         2005:394829 HCAPLUS
ACCESSION NUMBER:
                         142:463605
DOCUMENT NUMBER:
                         Preparation aryloxyacetic acids and related compounds
TITLE:
                         as PPARδ and PPARα agonists
                         Ackermann, Jean; Aebi, Johannes; Binggeli, Alfred;
INVENTOR(S):
                         Grether, Uwe; Hirth, Georges; Kuhn, Bernd; Maerki,
                         Hans-Peter; Meyer, Markus; Mohr, Peter; Wright,
                         Matthew Blake
                         Hoffmann-La Roche Inc., USA
PATENT ASSIGNEE(S):
                         U.S. Pat. Appl. Publ., 89 pp.
SOURCE:
                         CODEN: USXXCO
DOCUMENT TYPE:
                         Patent
                         English
LANGUAGE:
FAMILY ACC. NUM. COUNT:
                         1
PATENT INFORMATION:
                         KIND
                                DATE
                                           . APPLICATION NO.
                                                                     DATE
     PATENT NO.
                                20050505
                                             US 2004-978155
                                                                     20041029
     US 2005096337
                          Α1
     US 7115611
                          B2
                                20061003
                                             AU 2004-291262
                                                                     20041028
                                20050602
     AU 2004291262
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                                             CA 2004-2543249
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                          AA
     WO 2005049573
                          A1
                                20050602
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             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
                                             EP 2004-790987
                                 20060726
                                                                     20041028
     EP 1682508
                          A1
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             IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR
     NO 2006002135
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                                             NO 2006-2135
                                                                     20060512
                          Α
                                             EP 2003-104081
PRIORITY APPLN. INFO.:
                                                                  A 20031105
                                             EP 2004-100759
                                                                 Α
                                                                     20040226
                                             WO 2004-EP12217
                                                                 W
                                                                     20041028
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MARPAT 142:463605

OTHER SOURCE(S):

GI

$$\begin{array}{c|c}
 & R4 \\
 & R5 \\
 & R8 \\
 & R7
\end{array}$$

II

AB Title compds. I [X = O, S, CH2; R1 = H, alkyl; R2 = H, alkyl with provisos; R3 = H, alkyl; R4, R8 = H, alkyl, cycloalkyl, etc.; R5, R6, R7 = H, alkyl, cycloalkyl, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, saponification of Et ester II (Z = OEt), afforded acid II (Z = OH) as a light yellow solid. In PPAR α receptor binding assays, 3-examples of compds. I exhibited IC50 values ranging from 0.013-0.289 μ mmol/l. Compds. I are claimed to be useful for the treatment of diseases modulated by PPAR δ and PPAR α agonist.

IT 851506-59-7P 851506-60-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation aryloxyacetic acids and related compds. as PPAR and PPAR agonists)

RN 851506-59-7 HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-5-[2-[2-methyl-6-[4-(trifluoromethyl)phenyl]-3-pyridinyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)

◆ 10518679

851506-60-0 HCAPLUS RN

Propanoic acid, 2-methyl-2-[2-methyl-5-[[2-methyl-6-[4-CN (trifluoromethyl)phenyl]-3-pyridinyl]methoxy]phenoxy]- (9CI) (CA INDEX

NAME)

REFERENCE COUNT:

27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN

1997:97157 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

126:157280

TITLE:

Preparation of aromatic alkanoic acid and alkanol

derivatives as antithrombotics

INVENTOR(S):

Hashizume, Hiroichi; Hagiwara, Masaki; Myamae,

Tetsuhisa; Ogawa, Masaji; Ppongo, Tomoko; Morikawa,

Tadanori

PATENT ASSIGNEE(S):

Fuji Yakuhin Kogyo Kk, Japan Jpn. Kokai Tokkyo Koho, 17 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08333287 PRIORITY APPLN. INFO.:	A2	19961217	JP 1995-158813 JP 1995-158813	19950602 19950602
OTHER SOURCE(S):	MARPAT	126:157280		

AB N-containing) alkylene; Z = amino, OH, carboxyl, aminocarbonyl, etc.] are prepared The title compds. in vitro showed IC50 values of 0.068 to 15.3 μM against thrombin-induced platelet aggregation.

IT 185995-41-9P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

. 10518679

BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of aromatic alkanoic acid and alkanol derivs. as antithrombotics)

RN 185995-41-9 HCAPLUS

CN Acetic acid, [3-[2-(4,4''-dimethyl[1,1':2',1''-terphenyl]-4'-yl)ethyl]phenoxy]- (9CI) (CA INDEX NAME)

=> file caold TOTAL SINCE FILE COST IN U.S. DOLLARS ENTRY SESSION 481.92 12.75 FULL ESTIMATED COST SINCE FILE TOTAL DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) ENTRY SESSION -1.50 -18.00CA SUBSCRIBER PRICE

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FILE COVERS 1907-1966 FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> d his

(FILE 'HOME' ENTERED AT 01:16:31 ON 27 NOV 2006)

FILE 'REGISTRY' ENTERED AT 01:16:38 ON 27 NOV 2006

Updated Search

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STRUCTURE UPLOADED
L1
L2
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            403 S L1 FULL
L3
     FILE 'HCAPLUS' ENTERED AT 01:25:22 ON 27 NOV 2006
             20 S L3
L4
              0 S L4 AND STEVENAGE, R?/AU
L5
             1 S L4 AND BESWICK, P?/AU
L6
             19 S L4 NOT L6
L7
              0 S L7 AND GOSMINI, R?/AU
rs
              0 S L7 AND GRIMES, R?/AU
L9
              0 S L7 AND HAMLET, C?/AU
L10
              0 S L7 AND HAMLETT, C?/AU
L11
            . 0 S L7 AND KING, N?/AU
L12
              O S L7 AND PATEL, V?/AU
L13
              0 S L7 AND BELL, R?/AU
L14
     FILE 'CAOLD' ENTERED AT 01:27:56 ON 27 NOV 2006
              0 S L3
L15
     FILE 'HCAPLUS' ENTERED AT 01:28:08 ON 27 NOV 2006
             18 S L3/THU
L16
              2 S L4 NOT L16
L17
     FILE 'REGISTRY' ENTERED AT 01:29:07 ON 27 NOV 2006
L18
               STRUCTURE UPLOADED
              0 S L18
L19
L20
              3 S L18 FULL
              3 S L20 NOT L3
L21 .
     FILE 'HCAPLUS' ENTERED AT 01:31:12 ON 27 NOV 2006
L22
              2 S L21
              O S L22 AND BELL, R?/AU
L23
              O S L22 AND BESWICK, P?/AU
L24
     FILE 'CAOLD' ENTERED AT 01:31:39 ON 27 NOV 2006
=> s 121
             0 L21
L25
=> file reg
                                                  SINCE FILE
                                                                   TOTAL
COST IN U.S. DOLLARS
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                                                                  482.36
FULL ESTIMATED COST
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)
                                                  SINCE FILE
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                                                                 SESSION
                                                         0.00
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CA SUBSCRIBER PRICE
FILE 'REGISTRY' ENTERED AT 01:31:47 ON 27 NOV 2006
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STRUCTURE FILE UPDATES: 24 NOV 2006 HIGHEST RN 913944-64-6 DICTIONARY FILE UPDATES: 24 NOV 2006 HIGHEST RN 913944-64-6

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http://www.cas.org/ONLINE/UG/regprops.html

Uploading C:\Documents and Settings\brobinson1\My Documents\stnweb\Queries\aqkm.str

L26 STRUCTURE UPLOADED

=> s 126

SAMPLE SEARCH INITIATED 01:32:53 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 44386 TO ITERATE

4.5% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01 0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 875143 TO 900297
PROJECTED ANSWERS: 0 TO 0

L27 0 SEA SSS SAM L26

=> s 126 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 166.50 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 01:33:00 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 888904 TO ITERATE

98.8% PROCESSED 878614 ITERATIONS

0 ANSWERS

100.0% PROCESSED 888904 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.25

L28 0 SEA SSS FUL L26

=>

=> file hcaplus COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 167.82 650.18 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION -18.00 CA SUBSCRIBER PRICE 0.00

FILE 'HCAPLUS' ENTERED AT 01:33:34 ON 27 NOV 2006
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FILE COVERS 1907 - 27 Nov 2006 VOL 145 ISS 23 FILE LAST UPDATED: 26 Nov 2006 (20061126/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s bell, r?/au and beswich, p?/au and gosmini, r?/au and grimes, r?/au and hamlett, c?/au and king, n?/au and patel, v?/au

2752 BELL, R?/AU

O BESWICH, P?/AU

17 GOSMINI, R?/AU

570 GRIMES, R?/AU

2 HAMLETT, C?/AU

596 KING, N?/AU

1127 PATEL, V?/AU

L29

O BELL, R?/AU AND BESWICH, P?/AU AND GOSMINI, R?/AU AND GRIMES, R?/AU AND HAMLETT, C?/AU AND KING, N?/AU AND PATEL, V?/AU

=> s bell, r?/au and beswick, p?/au and gosmini, r?/au and hamlett, c?/au and king, n?/au and patel, v?/au

2752 BELL, R?/AU

57 BESWICK, P?/AU

17 GOSMINI, R?/AU

2 HAMLETT, C?/AU

596 KING, N?/AU

1127 PATEL, V?/AU

L30 1 BELL, R?/AU AND BESWICK, P?/AU AND GOSMINI, R?/AU AND HAMLETT, C?/AU AND KING, N?/AU AND PATEL, V?/AU

=> d 130, ibib abs hitstr, 1

L30 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2004:2698 HCAPLUS

DOCUMENT NUMBER: TITLE:

140:59519
Preparation of (biphenylylalkoxy) - and

[(phenylpyridyl)alkoxy]-substituted phenylalkanoic acids and phenoxyalkanoic acids as hPPAR activators for treatment of cardiovascular disease and related

disorders

INVENTOR(S):

Hamlett, Christopher Charles Frederick;

Bell, Richard; Beswick, Paul John;

SOURCE:

GI

Gosmini, Romain Luc Marie; King, Nigel

Paul; Patel, Vipulkumar Kantibhai Smithkline Beecham Corporation, USA PCT Int. Appl., 158 pp. CODEN: PIXXD2

PATENT ASSIGNEE(S):

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

P	PATENT NO.							APPLICATION NO.						DATE			
. – W	io 200														2	0030	618
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		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NΙ,	NO,	ΝZ,	OM,
		PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,
		TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ŻA,	ZM,	ZW					
	RW	: GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	ΤZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	ΒE,	ВG,	CH,	CY,	CZ,	DĖ,	DK,	EE,	ES,
		FI,	FR,	GB,	GR,	ΗU,	ΙE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
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E	EP 151										003-						
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В	BR 200	30119	31		Α		2005	0405		BR 2	2003-	1193	1		2	0030	618
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N	NZ 537	210			Α		2006	0929		NZ 2	2003-	5372	10		. 2	0030	618
N	10 200	40053	28		Α		2005	0309		NO 2	004-	5328			2	0041	203
	JS 200				A1		2006	0427		US 2	2005-	5186	79		- 2	0050	816
PRIORI	TY AP	PLN.	INFO	.:							2002-						
										WO 2	2003-	EP64	12		w 2	0030	ρŢβ
OTHER	SOURC	E(S):			MAR	PAT	140:	5951	9								

Ι

HO
$$R^{1}$$
 R^{2} R^{5} R^{6} R^{7}

Title compds. I [wherein R1 and R2 = independently H or alkyl; X = O or (CH2)n; n = 0-2; R3 R4 = independently H, alkyl, OMe, CF3, allyl, or halo; X1 = O, S, SO2, SO, or CH2; R5 and R6 = independently H, (halo)alkyl, or alkoxyalkyl; or CR5R6 = cycloalkyl; R7 = (un)substituted Ph or 6-membered heteroaryl; and pharmaceutically acceptable salts, solvates, and hydrolyzable esters thereof] were prepared as human peroxisome proliferator activated receptor (hPPAR) activators. For example, a mixture of 3-(bromomethyl)-4'-(trifluoromethyl)biphenyl, Et (4-mercapto-2-methylphenoxy)acetate, and polymer-supported diisopropylethylamine in DCM was stirred at room temperature overnight to give the thioether.

Saponification of the
ester with aqueous NaOH in THF and acidification afforded II. Compds. of the
invention showed at least 50% activation of hPPARO relative to the
pos. control at concns. of 10-7 M or less. Thus, I and their
pharmaceutical compns. are useful for the treatment of hPPAR mediated
conditions, such as dyslipidemia, syndrome X, heart failure,
hypercholesterolemia, cardiovascular disease, type II diabetes mellitus,
type I diabetes, insulin resistance, hyperlipidemia, obesity, anorexia

bulimia, or anorexia nervosa (no data).

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT